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LOGINID:SSPTAEAL1624

PASSWORD:
* * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'CAPLUS' AT 11:28:57 ON 01 FEB 2008
FILE 'CAPLUS' ENTERED AT 11:28:57 ON 01 FEB 2008
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	103.89	282.46

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-13.60	-13.60

=> file casreact

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	104.85	283.42

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-13.60	-13.60

FILE 'CASREACT' ENTERED AT 11:30:01 ON 01 FEB 2008
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FILE CONTENT:1840 - 26 Jan 2008 VOL 148 ISS 5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

```
************************************************************
*                                                          *
*     CASREACT now has more than 13.8 million reactions    *
*                                                          *
************************************************************
```

Some CASREACT records are derived from the ZIC/VINITI database (1974-1999) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=>
Uploading C:\Program Files\Stnexp\Queries\10524517last.str

chain nodes :
7 8 9 11 12 14 15 18 19 20 21
ring nodes :
1 2 3 4 5 6
ring/chain nodes :
16 22
chain bonds :
1-7 2-15 3-14 5-11 6-12 7-8 7-9 9-16 18-19 18-22 19-20 19-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 1-7 2-3 2-15 3-4 3-14 4-5 5-6 5-11 6-12 7-8 7-9 9-16 18-19
18-22 19-21
exact bonds :
19-20
isolated ring systems :
containing 1 :

G1:C,H,O,X

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 11:CLASS
12:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS
22:CLASS
fragments assigned product role:
containing 1
fragments assigned reactant/reagent role:
containing 18

L5 STRUCTURE UPLOADED

```
=> s l5
SAMPLE SEARCH INITIATED 11:30:31 FILE 'CASREACT'
SCREENING COMPLETE -      502 REACTIONS TO VERIFY FROM        24 DOCUMENTS

100.0% DONE     502 VERIFIED     101 HIT RXNS                      10 DOCS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED VERIFICATIONS:      8697 TO    11383
PROJECTED ANSWERS:              11 TO      389

L6           10 SEA SSS SAM L5 (   101 REACTIONS)

=> s l5 full
FULL SEARCH INITIATED 11:30:50 FILE 'CASREACT'
SCREENING COMPLETE -      8697 REACTIONS TO VERIFY FROM      561 DOCUMENTS

100.0% DONE    8697 VERIFIED    1974 HIT RXNS                     197 DOCS
SEARCH TIME: 00.00.02

L7          197 SEA SSS FUL L5 (  1974 REACTIONS)

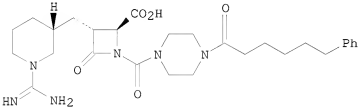
=> s l7 and py<2003
        486994 PY<2003
L8          93 L7 AND PY<2003

=> s l8 and organic solvent
          12293 ORGANIC
             21 ORGANICS
          12313 ORGANIC
                (ORGANIC OR ORGANICS)
          62178 SOLVENT
          18894 SOLVENTS
          71620 SOLVENT
                (SOLVENT OR SOLVENTS)
            743 ORGANIC SOLVENT
                (ORGANIC(W)SOLVENT)
L9            0 L8 AND ORGANIC SOLVENT

=> s l8 and solvent
          62178 SOLVENT
          18894 SOLVENTS
          71620 SOLVENT
                (SOLVENT OR SOLVENTS)
L10           1 L8 AND SOLVENT

=> d ibib abs hit
```

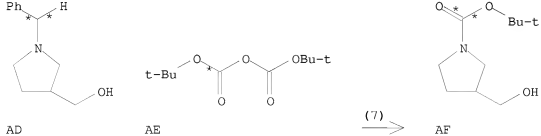
L10 ANSWER 1 OF 1 CASREACT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 138:55769 CASREACT
TITLE: Synthesis of potent and highly selective inhibitors of human tryptase
AUTHOR(S): Slusarchyk, William A.; Bolton, Scott A.; Hartl, Karen S.; Huang, Ming-Hsing; Jacobs, Glenn; Meng, Wei; Ogletree, Martin L.; Pi, Zulan; Schumacher, William A.; Seiler, Steven M.; Sutton, James C.; Treuner, Uwe; Zahler, Robert; Zhao, Guohua; Bisacchi, Gregory S.
CORPORATE SOURCE: The Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(21), 3235-3238
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB The serine protease tryptase is implicated in allergic and inflammatory diseases and associated with asthma. The synthesis and SAR of a series of N1-activated-4-carboxy azetidinones are described, resulting in identification of BMS-363131 (I) as a potent inhibitor of human tryptase (IC50<1.7 nM) with high selectivity (>3000-fold) for tryptase vs. related serine proteases including trypsin.
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

RX(7) OF 275 AD + AE ===> AF...



AD AE (7) AF

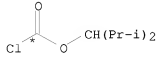
RX(7) RCT AD 5731-17-9

STAGE(1)
RGT S 1333-74-0 H2
CAT 7440-05-3 Pd
SOL 67-56-1 MeOH

STAGE(2)
RCT AE 24424-99-5
SOL 109-99-9 THF

PRO AF 114214-69-6
NTE isopropanol may also be used as a solvent in the first stage

RX(29) OF 275 BR + AM + AN ===> P...



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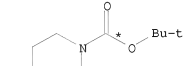
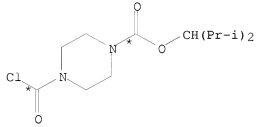


AM

AN

(29)



P

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

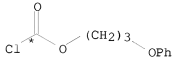
STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N

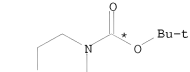
SOL 75-09-2 CH2C12

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(33) OF 275 BV + AM + AN ===> BK...



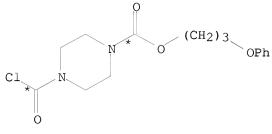
BV



AM

AN

(33)



BK

RX(33) RCT BV 27184-60-7, AM 57260-71-6

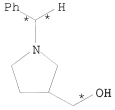
STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2C12

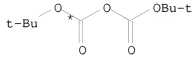
STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2C12

PRO BK 479622-28-1
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(50) OF 275 COMPOSED OF RX(7), RX(8)
RX(50) AD + AE ===> AH

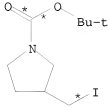


AD



AE

2
STEPS



AH

RX(7) RCT AD 5731-17-9

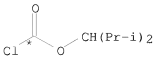
STAGE(1)
RGT S 1333-74-0 H2
CAT 7440-05-3 Pd
SOL 67-56-1 MeOH

STAGE(2)
RCT AE 24424-99-5
SOL 109-99-9 THF

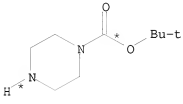
PRO AF 114214-69-6
NTE isopropanol may also be used as a solvent in the first stage

RX(8) RCT AF 114214-69-6
RGT AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
PRO AH 479622-36-1
SOL 75-09-2 CH2C12

RX(75) OF 275 COMPOSED OF RX(29), RX(4)
RX(75) BR + AM + AN + L ===> Q

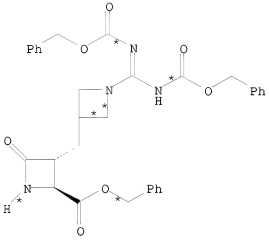


BR



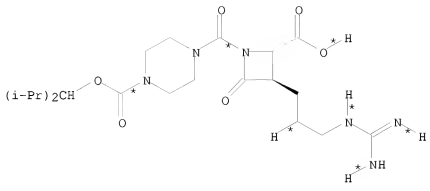
AM

AN



L

2
STEPS



Q

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

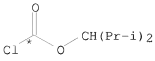
RX(4) RCT L 253177-00-3, P 253177-45-6

STAGE(1)
RGT N 121-44-8 Et3N, R 1122-58-3 4-DMAP
SOL 68-12-2 DMF

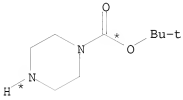
STAGE(2)
RGT S 1333-74-0 H2, T 7647-01-0 HCl
CAT 7440-05-3 Pd
SOL 123-91-1 Dioxane, 7732-18-5 Water

PRO Q 253173-70-5

RX(76) OF 275 COMPOSED OF RX(29), RX(5)
RX(76) BR + AM + AN + X ===> Y

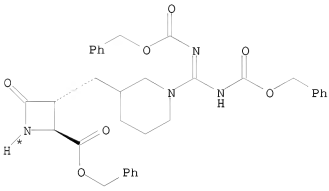


BR



AM

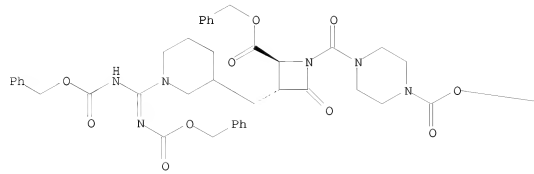
AN



X

2
STEPS

PAGE 1-A



CH(Pr-i)2

Y
YIELD 82%

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

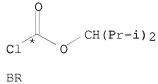
STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(5) RCT P 253177-45-6, X 384830-18-6
RGT N 121-44-8 Et3N
PRO Y 253177-10-5
CAT 1122-58-3 4-DMAP
SOL 68-12-2 DMF

RX(77) OF 275 COMPOSED OF RX(29), RX(20)
RX(77) BR + AM + AN + BA ===> BB



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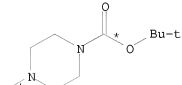
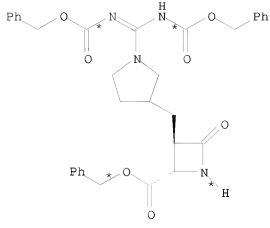


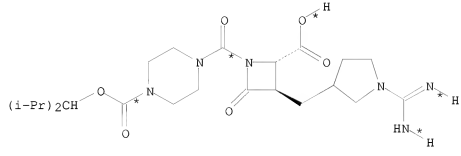
AM

AN



2
STEPS

BA



BB

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6

NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

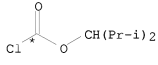
RX(20) RCT BA 479622-23-6, P 253177-45-6

STAGE(1)
RGT N 121-44-8 Et3N, R 1122-58-3 4-DMAP
SOL 68-12-2 DMF

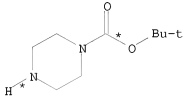
STAGE(2)
RGT S 1333-74-0 H2, T 7647-01-0 HCl
CAT 7440-05-3 Pd
SOL 123-91-1 Dioxane, 7732-18-5 Water

PRO BB 479622-24-7

RX(78) OF 275 COMPOSED OF RX(29), RX(21)
RX(78) 4 BR + 4 AM + 4 AN + 4 X ===> BB + BC + BD + BE

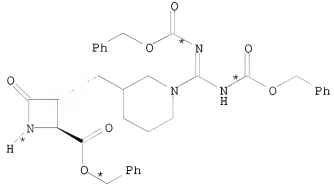


4 BR

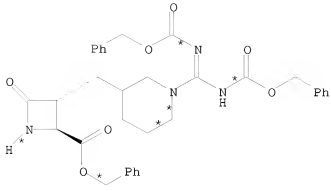


4 AM

4 AN

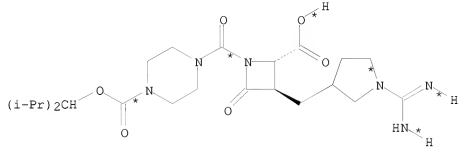


3 X

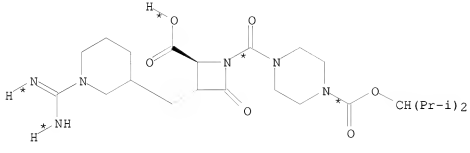


X

2
STEPS



BB



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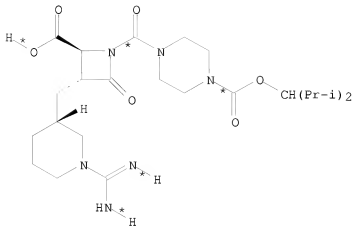
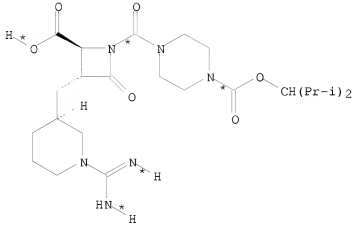


BD



BE

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N

SOL 75-09-2 CH2C12

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

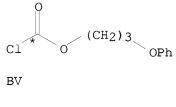
RX(21) RCT X 384830-18-6, P 253177-45-6

STAGE(1)
RGT N 121-44-8 Et3N, R 1122-58-3 4-DMAP
SOL 68-12-2 DMF

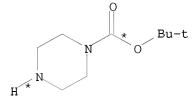
STAGE(2)
RGT S 1333-74-0 H2, T 7647-01-0 HCl
CAT 7440-05-3 Pd
SOL 123-91-1 Dioxane, 7732-18-5 Water

PRO BB 479622-24-7, BC 253177-54-7, BD 479622-25-8, BE 479622-26-9

RX(84) OF 275 COMPOSED OF RX(33), RX(25)
RX(84) BV + AM + AN + X ===> BL

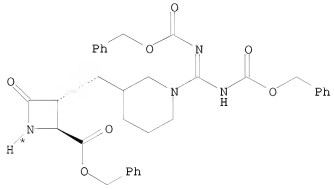


BV



AM

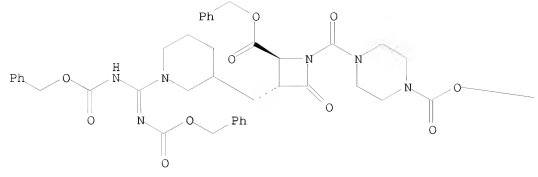
AN



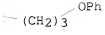
X

2
STEPS

PAGE 1-A



PAGE 1-B



BL
YIELD 82%

RX(33) RCT BV 27184-60-7, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

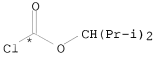
STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

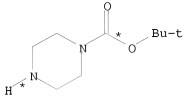
PRO BK 479622-28-1
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(25) RCT BK 479622-28-1, X 384830-18-6
RGT N 121-44-8 Et3N
PRO BL 384830-26-6
CAT 1122-58-3 4-DMAP
SOL 68-12-2 DMF

RX(93) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(4)
AND REACTION SEQUENCE RX(3), RX(4)
... BR + AM + AN ===> P...
...G + K + P ===> Q



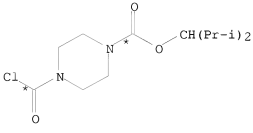
BR



AM

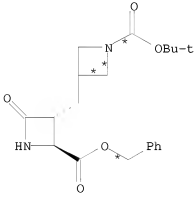
AN

2
STEPS

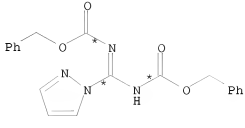


P

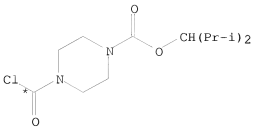
START NEXT REACTION SEQUENCE



G

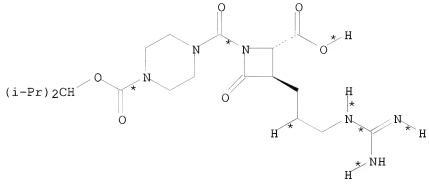


K



P

2 STEPS



Q

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(3) RCT G 253176-97-5

STAGE(1)
RGT M 76-05-1 F3CCO2H

SOL 75-09-2 CH2C12

STAGE(2)
RCT K 152120-55-3
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

PRO L 253177-00-3
NTE alternative prepn. shown

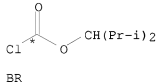
RX(4) RCT L 253177-00-3, P 253177-45-6

STAGE(1)
RGT N 121-44-8 Et3N, R 1122-58-3 4-DMAP
SOL 68-12-2 DMF

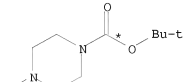
STAGE(2)
RGT S 1333-74-0 H2, T 7647-01-0 HCl
CAT 7440-05-3 Pd
SOL 123-91-1 Dioxane, 7732-18-5 Water

PRO Q 253173-70-5

RX(94) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(4)
AND REACTION SEQUENCE RX(2), RX(3), RX(4)
... BR + AM + AN ===> P...
...C + F + K + P ===> Q



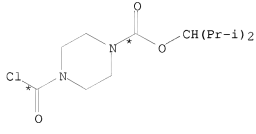
BR



AM

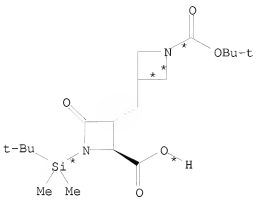
AN

3 STEPS



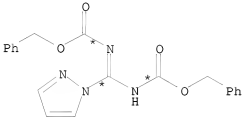
P

START NEXT REACTION SEQUENCE

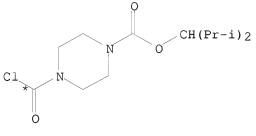


C

F

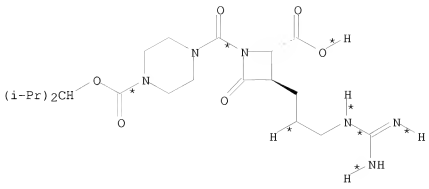


K



P

3
STEPS



Q

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(2) RCT C 253176-95-3

STAGE(1)
RGT H 429-41-4 Bu4N.F
SOL 109-99-9 THF

STAGE(2)
RCT F 100-39-0
RGT I 144-55-8 NaHCO3
SOL 68-12-2 DMF

PRO G 253176-97-5

RX(3) RCT G 253176-97-5

STAGE(1)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(2)
RCT K 152120-55-3
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

PRO L 253177-00-3
NTE alternative prepn. shown

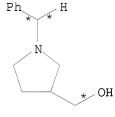
RX(4) RCT L 253177-00-3, P 253177-45-6

STAGE(1)
RGT N 121-44-8 Et3N, R 1122-58-3 4-DMAP
SOL 68-12-2 DMF

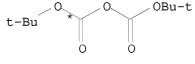
STAGE(2)
RGT S 1333-74-0 H2, T 7647-01-0 HCl
CAT 7440-05-3 Pd
SOL 123-91-1 Dioxane, 7732-18-5 Water

PRO Q 253173-70-5

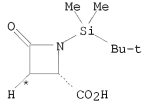
RX(96) OF 275 COMPOSED OF RX(7), RX(8), RX(43)
RX(96) AD + AE + B ===> AX



AD

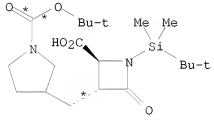


AE



B

3 STEPS



AX

RX(7) RCT AD 5731-17-9

STAGE(1)
RGT S 1333-74-0 H2
CAT 7440-05-3 Pd

SOL 67-56-1 MeOH

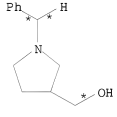
STAGE(2)
RCT AE 24424-99-5
SOL 109-99-9 THF

PRO AF 114214-69-6
NTE isopropanol may also be used as a solvent in the first stage

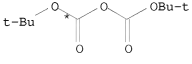
RX(8) RCT AF 114214-69-6
RGT AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
PRO AH 479622-36-1
SOL 75-09-2 CH2Cl2

RX(43) RCT AH 479622-36-1, B 82938-50-9
RGT D 4111-54-0 LiN(Pr-i)2
PRO AX 479622-21-4
SOL 109-99-9 THF
NTE stereoselective

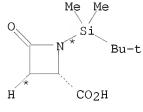
RX(98) OF 275 COMPOSED OF RX(7), RX(8), RX(43), RX(16)
RX(98) AD + AE + B + F ===> AY



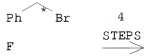
AD



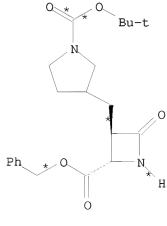
AE



B



F



AY

RX(7) RCT AD 5731-17-9

STAGE(1)
RGT S 1333-74-0 H2
CAT 7440-05-3 Pd
SOL 67-56-1 MeOH

STAGE(2)
RCT AE 24424-99-5
SOL 109-99-9 THF

PRO AF 114214-69-6
NTE isopropanol may also be used as a solvent in the first stage

RX(8) RCT AF 114214-69-6
RGT AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
PRO AH 479622-36-1
SOL 75-09-2 CH2Cl2

RX(43) RCT AH 479622-36-1, B 82938-50-9
RGT D 4111-54-0 LiN(Pr-i)2
PRO AX 479622-21-4
SOL 109-99-9 THF
NTE stereoselective

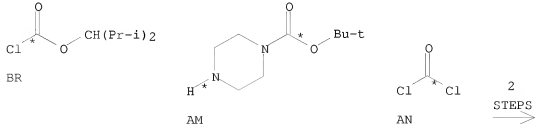
RX(16) RCT AX 479622-21-4

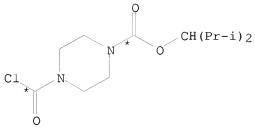
STAGE(1)
RGT H 429-41-4 Bu4N.F
SOL 109-99-9 THF

STAGE(2)
RCT F 100-39-0
RGT I 144-55-8 NaHCO3
SOL 68-12-2 DMF

PRO AY 479622-22-5

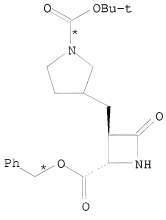
RX(124) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(20)
AND REACTION SEQUENCE RX(18), RX(20)
... BR + AM + AN ===> P...
...AY + K + P ===> BB



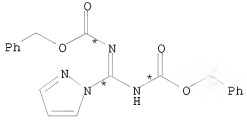


P

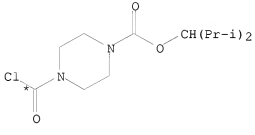
START NEXT REACTION SEQUENCE



AY

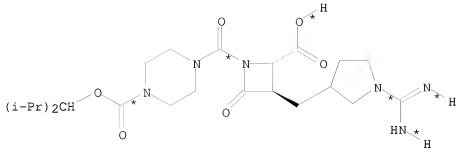


K



P

2
STEPS



BB

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(18) RCT AY 479622-22-5

STAGE(1)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(2)
RCT K 152120-55-3
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

PRO BA 479622-23-6
NTE alternative prepn. shown

RX(20) RCT BA 479622-23-6, P 253177-45-6

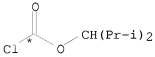
STAGE(1)
RGT N 121-44-8 Et3N, R 1122-58-3 4-DMAP
SOL 68-12-2 DMF

STAGE(2)

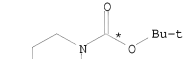
RGT S 1333-74-0 H2, T 7647-01-0 HCl
CAT 7440-05-3 Pd
SOL 123-91-1 Dioxane, 7732-18-5 Water

PRO BB 479622-24-7

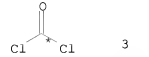
RX(125) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(20)
AND REACTION SEQUENCE RX(16), RX(18), RX(20)
... BR + AM + AN ===> P...
...AX + F + K + P ===> BB



BR

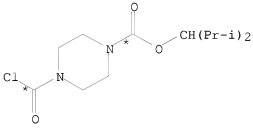


AM



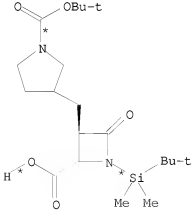
AN

3 STEPS



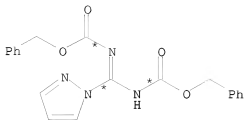
P

START NEXT REACTION SEQUENCE

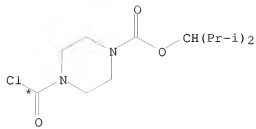


AX

F

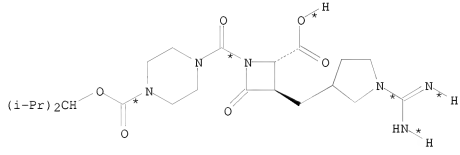


K



P

3
STEPS



BB

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(16) RCT AX 479622-21-4

STAGE(1)
RGT H 429-41-4 Bu4N.F
SOL 109-99-9 THF

STAGE(2)
RCT F 100-39-0
RGT I 144-55-8 NaHCO3
SOL 68-12-2 DMF

PRO AY 479622-22-5

RX(18) RCT AY 479622-22-5

STAGE(1)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(2)
RCT K 152120-55-3
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

PRO BA 479622-23-6
NTE alternative prepn. shown

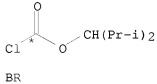
RX(20) RCT BA 479622-23-6, P 253177-45-6

STAGE(1)
RGT N 121-44-8 Et3N, R 1122-58-3 4-DMAP
SOL 68-12-2 DMF

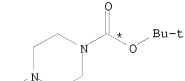
STAGE(2)
RGT S 1333-74-0 H2, T 7647-01-0 HCl
CAT 7440-05-3 Pd
SOL 123-91-1 Dioxane, 7732-18-5 Water

PRO BB 479622-24-7

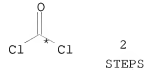
RX(133) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(5)
AND REACTION SEQUENCE RX(19), RX(5)
... BR + AM + AN ===> P...
...AZ + K + P ===> Y



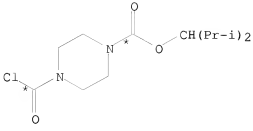
BR



AM

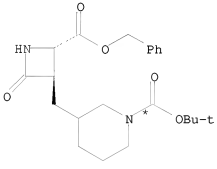


AN

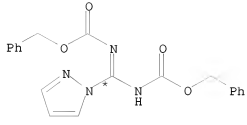


P

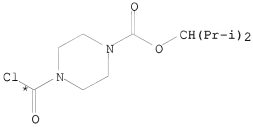
START NEXT REACTION SEQUENCE



AZ



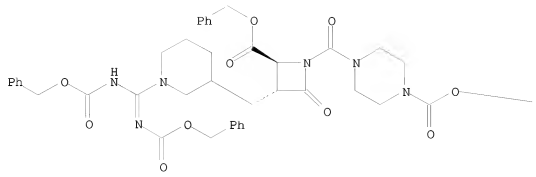
K



P

2
STEPS

PAGE 1-A



PAGE 1-B

CH(Pr-i)2

Y
YIELD 82%

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(19) RCT AZ 253177-06-9

STAGE(1)
RGT M 76-05-1 F3CCO2H

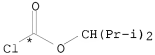
SOL 75-09-2 CH2C12

STAGE(2)
RCT K 152120-55-3
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

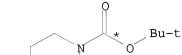
PRO X 384830-18-6
NTE alternative prepn. shown

RX(5) RCT P 253177-45-6, X 384830-18-6
RGT N 121-44-8 Et3N
PRO Y 253177-10-5
CAT 1122-58-3 4-DMAP
SOL 68-12-2 DMF

RX(134) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(21)
AND REACTION SEQUENCE RX(19), RX(21)
...4 BR + 4 AM + 4 AN ===> P...
...4 AZ + 4 K + 4 P ===> BB + BC + BD + BE



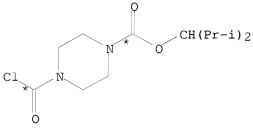
4 BR



4 AM

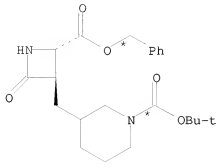
4 AN

2 STEPS

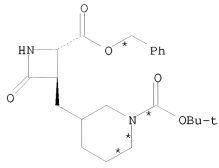


P

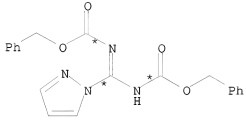
START NEXT REACTION SEQUENCE



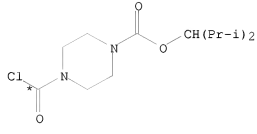
3 AZ



AZ

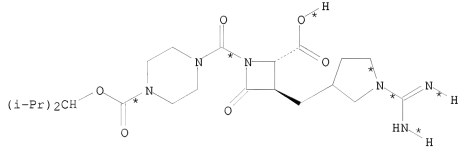


4 K

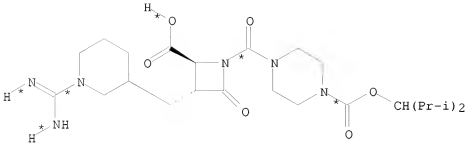


4 P

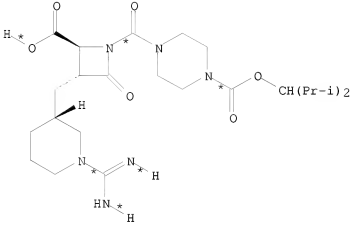
2
STEPS



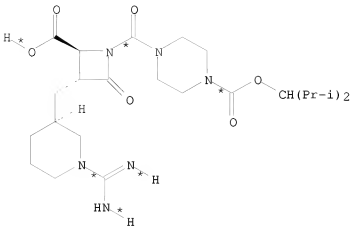
BB



BC



BD



BE

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(19) RCT AZ 253177-06-9

STAGE(1)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(2)
RCT K 152120-55-3
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

PRO X 384830-18-6
NTE alternative prepn. shown

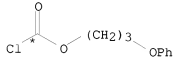
RX(21) RCT X 384830-18-6, P 253177-45-6

STAGE(1)
RGT N 121-44-8 Et3N, R 1122-58-3 4-DMAP
SOL 68-12-2 DMF

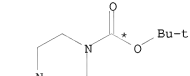
STAGE(2)
RGT S 1333-74-0 H2, T 7647-01-0 HCl
CAT 7440-05-3 Pd
SOL 123-91-1 Dioxane, 7732-18-5 Water

PRO BB 479622-24-7, BC 253177-54-7, BD 479622-25-8, BE 479622-26-9

RX(138) OF 275 COMPOSED OF REACTION SEQUENCE RX(33), RX(25)
AND REACTION SEQUENCE RX(19), RX(25)
... BV + AM + AN ===> BK...
...AZ + K + BK ===> BL



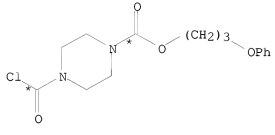
BV



AM

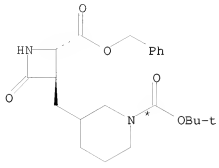
AN

2
STEPS

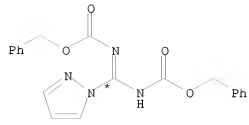


BK

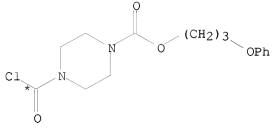
START NEXT REACTION SEQUENCE



AZ



K



BK

2
STEPS

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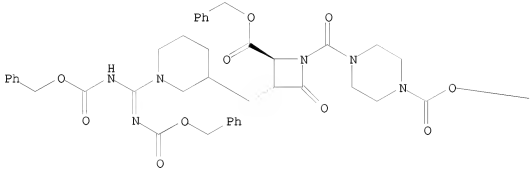


BL
YIELD 82%

RX(33) RCT BV 27184-60-7, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO BK 479622-28-1
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(19) RCT AZ 253177-06-9

STAGE(1)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(2)
RCT K 152120-55-3
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

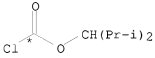
PRO X 384830-18-6
NTE alternative prepn. shown

RX(25) RCT BK 479622-28-1, X 384830-18-6
RGT N 121-44-8 Et3N
PRO BL 384830-26-6
CAT 1122-58-3 4-DMAP
SOL 68-12-2 DMF

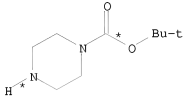
RX(146) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(5)

AND REACTION SEQUENCE RX(17), RX(19), RX(5)

... BR + AM + AN ===> P...
...AW + F + K + P ===> Y



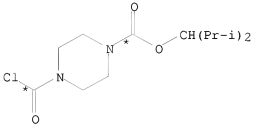
BR



AM

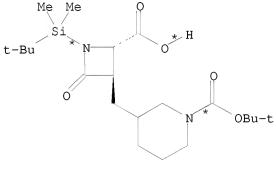
AN

3 STEPS



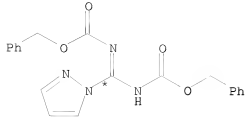
P

START NEXT REACTION SEQUENCE

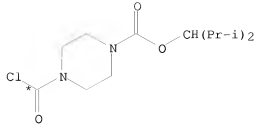


AW

F



K



P

3
STEPS

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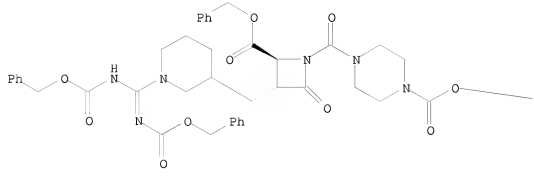


PAGE 1-B

—CH(Pr-i)2

Y
YIELD 82%

RX(29) RCT BR 30250-57-8, AM 57260-71-6

<12/04/2007> Erich Leese

```
            STAGE(1)
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

            STAGE(2)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2C12

            STAGE(3)
               RCT  AN 75-44-5
               RGT  N 121-44-8 Et3N
               SOL  75-09-2 CH2C12

          PRO  P 253177-45-6
          NTE  sodium bicarbonate may also be used in stage 3, alternative
               prepn. shown

RX(17)    RCT  AW 253177-04-7

            STAGE(1)
               RGT  H 429-41-4 Bu4N.F
               SOL  109-99-9 THF

            STAGE(2)
               RCT  F 100-39-0
               RGT  I 144-55-8 NaHCO3
               SOL  68-12-2 DMF

          PRO  AZ 253177-06-9

RX(19)    RCT  AZ 253177-06-9

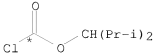
            STAGE(1)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2C12

            STAGE(2)
               RCT  K 152120-55-3
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

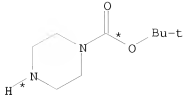
          PRO  X 384830-18-6
          NTE  alternative prepn. shown

RX(5)     RCT  P 253177-45-6, X 384830-18-6
          RGT  N 121-44-8 Et3N
          PRO  Y 253177-10-5
          CAT  1122-58-3 4-DMAP
          SOL  68-12-2 DMF


RX(147) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(21)
               AND REACTION SEQUENCE RX(17), RX(19), RX(21)
...4 BR  +  4 AM  +  4 AN  ===>  P...
...4 AW  +  4 F  +  4 K  +  4 P  ===>  BB  +  BC  +  BD  +  BE
```



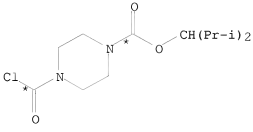
4 BR



4 AM

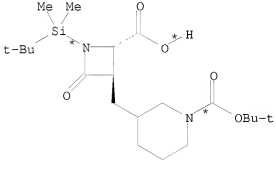
4 AN

3 STEPS

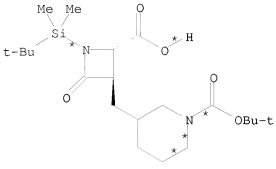


P

START NEXT REACTION SEQUENCE

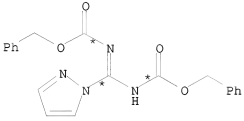


3 AW

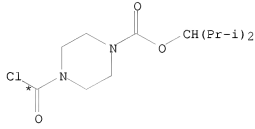


AW

4 F

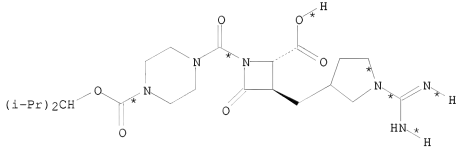


4 K

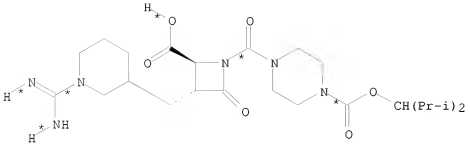


4 P

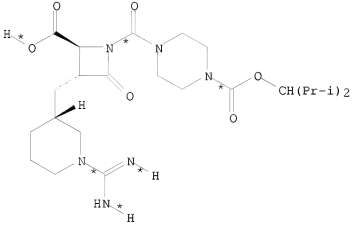
3
STEPS



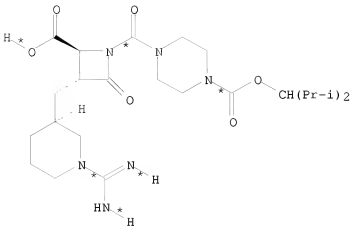
BB



BC



BD



BE

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(17) RCT AW 253177-04-7

STAGE(1)
RGT H 429-41-4 Bu4N.F
SOL 109-99-9 THF

STAGE(2)
RCT F 100-39-0
RGT I 144-55-8 NaHCO3
SOL 68-12-2 DMF

PRO AZ 253177-06-9

RX(19) RCT AZ 253177-06-9

STAGE(1)

RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(2)
RCT K 152120-55-3
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

PRO X 384830-18-6
NTE alternative prepn. shown

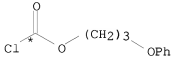
RX(21) RCT X 384830-18-6, P 253177-45-6

STAGE(1)
RGT N 121-44-8 Et3N, R 1122-58-3 4-DMAP
SOL 68-12-2 DMF

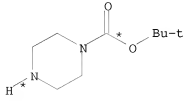
STAGE(2)
RGT S 1333-74-0 H2, T 7647-01-0 HCl
CAT 7440-05-3 Pd
SOL 123-91-1 Dioxane, 7732-18-5 Water

PRO BB 479622-24-7, BC 253177-54-7, BD 479622-25-8, BE 479622-26-9

RX(151) OF 275 COMPOSED OF REACTION SEQUENCE RX(33), RX(25) AND REACTION SEQUENCE RX(17), RX(19), RX(25)
... BV + AM + AN ===> BK...
...AW + F + K + BK ===> BL



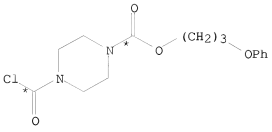
BV



AM

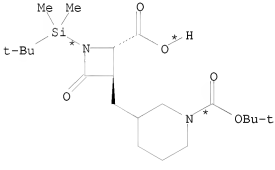
AN

3
STEPS
⟶



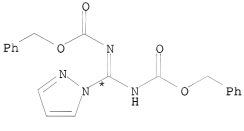
BK

START NEXT REACTION SEQUENCE

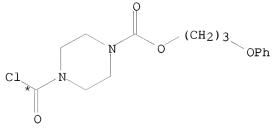


AW

F



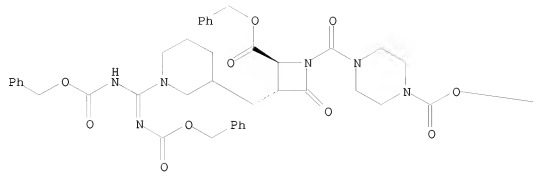
K



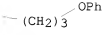
BK

3
STEPS

PAGE 1-A



PAGE 1-B



BL
YIELD 82%

RX(33) RCT BV 27184-60-7, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO BK 479622-28-1
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(17) RCT AW 253177-04-7

STAGE(1)
RGT H 429-41-4 Bu4N.F

```
               SOL  109-99-9 THF

            STAGE(2)
               RCT  F 100-39-0
               RGT  I 144-55-8 NaHCO3
               SOL  68-12-2 DMF

          PRO  AZ 253177-06-9

RX(19)    RCT  AZ 253177-06-9

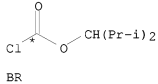
            STAGE(1)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(2)
               RCT  K 152120-55-3
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

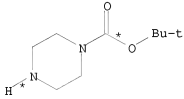
          PRO  X 384830-18-6
          NTE  alternative prepn. shown

RX(25)    RCT  BK 479622-28-1, X 384830-18-6
          RGT  N 121-44-8 Et3N
          PRO  BL 384830-26-6
          CAT  1122-58-3 4-DMAP
          SOL  68-12-2 DMF


RX(155) OF 275 COMPOSED OF RX(29), RX(5), RX(44)
RX(155)   BR  +  AM  +  AN  +  X  ===>  BC
```

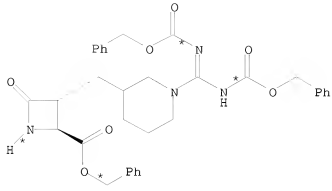


BR



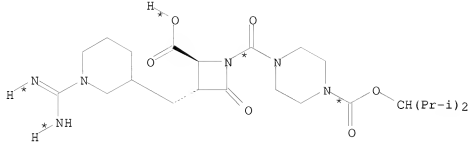
AM

AN



X

3
STEPS



BC
YIELD 92%

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(5) RCT P 253177-45-6, X 384830-18-6
RGT N 121-44-8 Et3N

PRO Y 253177-10-5
CAT 1122-58-3 4-DMAP
SOL 68-12-2 DMF

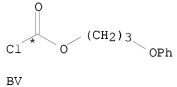
RX(44) RCT Y 253177-10-5

STAGE(1)
RGT S 1333-74-0 H2, T 7647-01-0 HCl
CAT 7440-05-3 Pd
SOL 123-91-1 Dioxane, 7732-18-5 Water

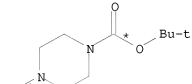
STAGE(2)
SOL 7732-18-5 Water

PRO BC 253177-54-7
NTE polyvinylpyridine resin used in second stage

RX(160) OF 275 COMPOSED OF RX(33), RX(25), RX(37)
RX(160) BV + AM + AN + X ===> BZ

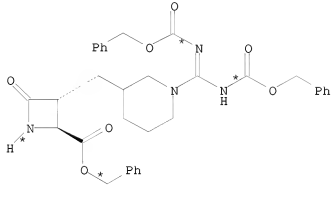


BV



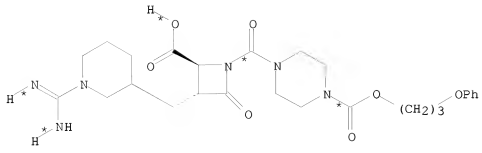
AM

AN



X

3
STEPS



BZ
YIELD 92%

RX(33) RCT BV 27184-60-7, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO BK 479622-28-1
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(25) RCT BK 479622-28-1, X 384830-18-6
RGT N 121-44-8 Et3N
PRO BL 384830-26-6
CAT 1122-58-3 4-DMAP
SOL 68-12-2 DMF

RX(37) RCT BL 384830-26-6

STAGE(1)
RGT S 1333-74-0 H2, T 7647-01-0 HCl
CAT 7440-05-3 Pd
SOL 123-91-1 Dioxane, 7732-18-5 Water

STAGE(2)
SOL 7732-18-5 Water

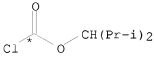
PRO BZ 384829-80-5
NTE polyvinylpyridine resin used in second stage

RX(168) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(4)

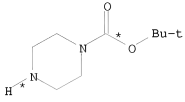
AND REACTION SEQUENCE RX(1), RX(2), RX(3), RX(4)

... BR + AM + AN ===> P...

...A + B + F + K + P ===> Q



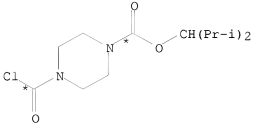
BR



AM

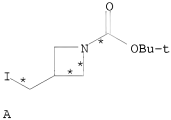
AN

4 STEPS

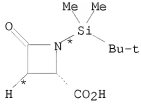


P

START NEXT REACTION SEQUENCE

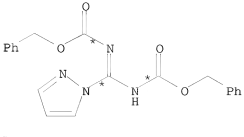


A

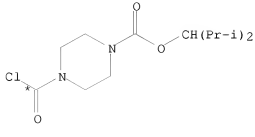


B

F

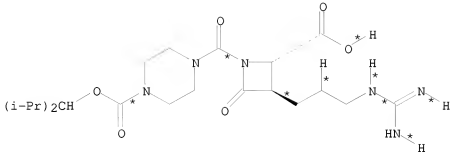


K



P

4
STEPS



Q

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(1) RCT A 253176-94-2, B 82938-50-9
RGT D 4111-54-0 LiN(Pr-i)2
PRO C 253176-95-3
SOL 109-99-9 THF
NTE stereoselective

RX(2) RCT C 253176-95-3

STAGE(1)
RGT H 429-41-4 Bu4N.F
SOL 109-99-9 THF

STAGE(2)
RCT F 100-39-0

```
                RGT  I 144-55-8 NaHCO3
                SOL  68-12-2 DMF

           PRO  G 253176-97-5

RX(3)      RCT  G 253176-97-5

             STAGE(1)
                RGT  M 76-05-1 F3CCO2H
                SOL  75-09-2 CH2Cl2

             STAGE(2)
                RCT  K 152120-55-3
                RGT  N 121-44-8 Et3N
                SOL  68-12-2 DMF

           PRO  L 253177-00-3
           NTE  alternative prepn. shown

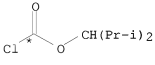
RX(4)      RCT  L 253177-00-3, P 253177-45-6

             STAGE(1)
                RGT  N 121-44-8 Et3N, R 1122-58-3 4-DMAP
                SOL  68-12-2 DMF

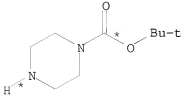
             STAGE(2)
                RGT  S 1333-74-0 H2, T 7647-01-0 HCl
                CAT  7440-05-3 Pd
                SOL  123-91-1 Dioxane, 7732-18-5 Water

           PRO  Q 253173-70-5

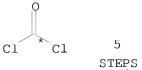

RX(170) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(4)
               AND REACTION SEQUENCE RX(6), RX(1), RX(2), RX(3), RX(4)
...  BR  +  AM  +  AN  ===>  P...
...Z  +  B  +  F  +  K  +  P  ===>  Q
```



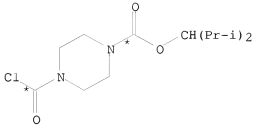
BR



AM

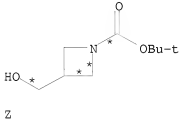


AN

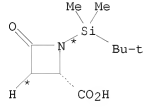


P

START NEXT REACTION SEQUENCE

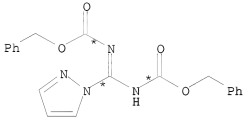


Z

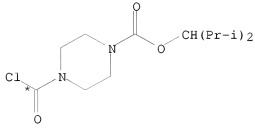


B

F

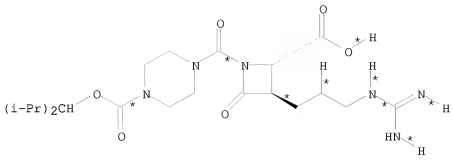


K



P

5
STEPS



Q

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(6) RCT Z 142253-56-3
RGT AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
PRO A 253176-94-2
SOL 75-09-2 CH2Cl2

RX(1) RCT A 253176-94-2, B 82938-50-9
RGT D 4111-54-0 LiN(Pr-i)2
PRO C 253176-95-3
SOL 109-99-9 THF
NTE stereoselective

RX(2) RCT C 253176-95-3

STAGE(1)
RGT H 429-41-4 Bu4N.F
SOL 109-99-9 THF

STAGE(2)
RCT F 100-39-0
RGT I 144-55-8 NaHCO3
SOL 68-12-2 DMF

PRO G 253176-97-5

RX(3) RCT G 253176-97-5

STAGE(1)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(2)
RCT K 152120-55-3
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

PRO L 253177-00-3
NTE alternative prepn. shown

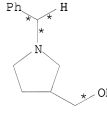
RX(4) RCT L 253177-00-3, P 253177-45-6

STAGE(1)
RGT N 121-44-8 Et3N, R 1122-58-3 4-DMAP
SOL 68-12-2 DMF

STAGE(2)
RGT S 1333-74-0 H2, T 7647-01-0 HCl
CAT 7440-05-3 Pd
SOL 123-91-1 Dioxane, 7732-18-5 Water

PRO Q 253173-70-5

RX(171) OF 275 COMPOSED OF RX(7), RX(8), RX(43), RX(16), RX(18)
RX(171) AD + AE + B + F + K ===> BA



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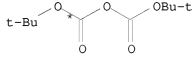

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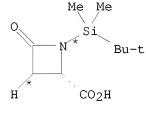
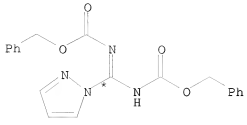


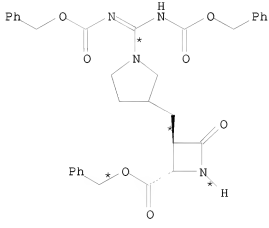
B

F



5
STEPS

K



BA

RX(7) RCT AD 5731-17-9

STAGE(1)
RGT S 1333-74-0 H2
CAT 7440-05-3 Pd
SOL 67-56-1 MeOH

STAGE(2)
RCT AE 24424-99-5
SOL 109-99-9 THF

PRO AF 114214-69-6
NTE isopropanol may also be used as a solvent in the first stage

RX(8) RCT AF 114214-69-6
RGT AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
PRO AH 479622-36-1
SOL 75-09-2 CH2Cl2

RX(43) RCT AH 479622-36-1, B 82938-50-9

```
          RGT  D 4111-54-0 LiN(Pr-i)2
          PRO  AX 479622-21-4
          SOL  109-99-9 THF
          NTE  stereoselective

RX(16)    RCT  AX 479622-21-4

            STAGE(1)
               RGT  H 429-41-4 Bu4N.F
               SOL  109-99-9 THF

            STAGE(2)
               RCT  F 100-39-0
               RGT  I 144-55-8 NaHCO3
               SOL  68-12-2 DMF

          PRO  AY 479622-22-5

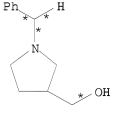
RX(18)    RCT  AY 479622-22-5

            STAGE(1)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(2)
               RCT  K 152120-55-3
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

          PRO  BA 479622-23-6
          NTE  alternative prepn. shown


RX(173) OF 275 COMPOSED OF RX(7), RX(8), RX(43), RX(16), RX(18), RX(20)
RX(173)   AD  +  AE  +  B  +  F  +  K  +  P  ===>  BB
```



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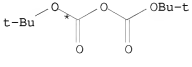
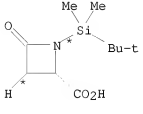
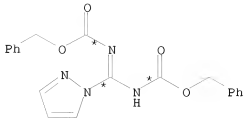


AE



B

F



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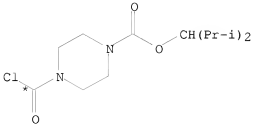
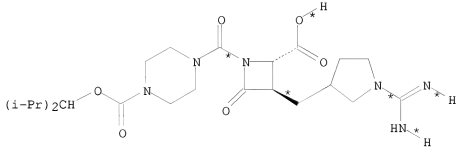


P

6
STEPS



BB

RX(7) RCT AD 5731-17-9

STAGE(1)
RGT S 1333-74-0 H2
CAT 7440-05-3 Pd
SOL 67-56-1 MeOH

STAGE(2)
RCT AE 24424-99-5
SOL 109-99-9 THF

```
          PRO  AF 114214-69-6
          NTE  isopropanol may also be used as a solvent in the first
               stage

RX(8)     RCT  AF 114214-69-6
          RGT  AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
          PRO  AH 479622-36-1
          SOL  75-09-2 CH2Cl2

RX(43)    RCT  AH 479622-36-1, B 82938-50-9
          RGT  D 4111-54-0 LiN(Pr-i)2
          PRO  AX 479622-21-4
          SOL  109-99-9 THF
          NTE  stereoselective

RX(16)    RCT  AX 479622-21-4

             STAGE(1)
                RGT  H 429-41-4 Bu4N.F
                SOL  109-99-9 THF

             STAGE(2)
                RCT  F 100-39-0
                RGT  I 144-55-8 NaHCO3
                SOL  68-12-2 DMF

          PRO  AY 479622-22-5

RX(18)    RCT  AY 479622-22-5

             STAGE(1)
                RGT  M 76-05-1 F3CCO2H
                SOL  75-09-2 CH2Cl2

             STAGE(2)
                RCT  K 152120-55-3
                RGT  N 121-44-8 Et3N
                SOL  68-12-2 DMF

          PRO  BA 479622-23-6
          NTE  alternative prepn. shown

RX(20)    RCT  BA 479622-23-6, P 253177-45-6

             STAGE(1)
                RGT  N 121-44-8 Et3N, R 1122-58-3 4-DMAP
                SOL  68-12-2 DMF

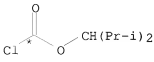
             STAGE(2)
                RGT  S 1333-74-0 H2, T 7647-01-0 HCl
                CAT  7440-05-3 Pd
                SOL  123-91-1 Dioxane, 7732-18-5 Water

          PRO  BB 479622-24-7

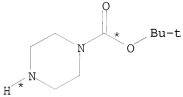

RX(201) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(5)
```

AND REACTION SEQUENCE RX(15), RX(17), RX(19), RX(5)

... BR + AM + AN ===> P...
...AL + B + F + K + P ===> Y



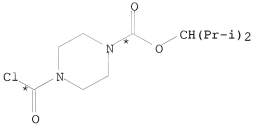
BR



AM

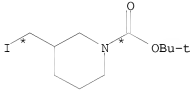
AN

4 STEPS

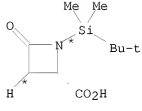


P

START NEXT REACTION SEQUENCE



AL



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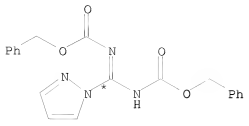

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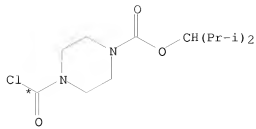
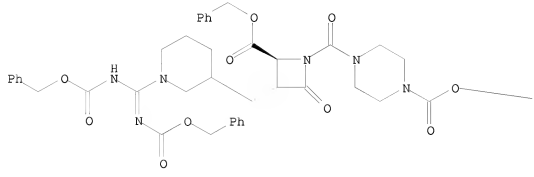


P

4
STEPS

PAGE 1-A



PAGE 1-B

Y
YIELD 82%

RX(29) RCT BR 30250-57-8, AM 57260-71-6

<12/04/2007> Erich Leese

```
            STAGE(1)
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

            STAGE(2)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(3)
               RCT  AN 75-44-5
               RGT  N 121-44-8 Et3N
               SOL  75-09-2 CH2Cl2

          PRO  P 253177-45-6
          NTE  sodium bicarbonate may also be used in stage 3, alternative
               prepn. shown

RX(15)    RCT  AL 253177-03-6, B 82938-50-9
          RGT  D 4111-54-0 LiN(Pr-i)2
          PRO  AW 253177-04-7
          SOL  109-99-9 THF
          NTE  stereoselective

RX(17)    RCT  AW 253177-04-7

            STAGE(1)
               RGT  H 429-41-4 Bu4N.F
               SOL  109-99-9 THF

            STAGE(2)
               RCT  F 100-39-0
               RGT  I 144-55-8 NaHCO3
               SOL  68-12-2 DMF

          PRO  AZ 253177-06-9

RX(19)    RCT  AZ 253177-06-9

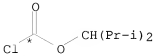
            STAGE(1)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(2)
               RCT  K 152120-55-3
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

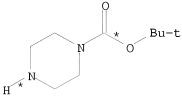
          PRO  X 384830-18-6
          NTE  alternative prepn. shown

RX(5)     RCT  P 253177-45-6, X 384830-18-6
          RGT  N 121-44-8 Et3N
          PRO  Y 253177-10-5
          CAT  1122-58-3 4-DMAP
          SOL  68-12-2 DMF
```

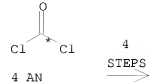
RX(202) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(21)
AND REACTION SEQUENCE RX(15), RX(17), RX(19), RX(21)
...4 BR + 4 AM + 4 AN ===> P...
...4 AL + 4 B + 4 F + 4 K + 4 P ===> BB + BC + BD +
BE



4 BR

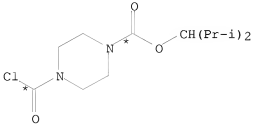


4 AM



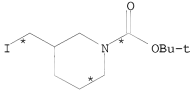
4 AN

4 STEPS

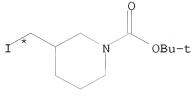


P

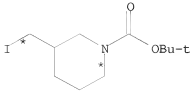
START NEXT REACTION SEQUENCE



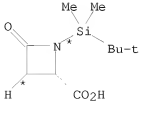
AL



2 AL



AL



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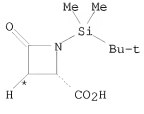
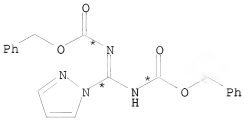
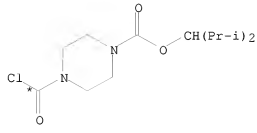


3 B

4 F

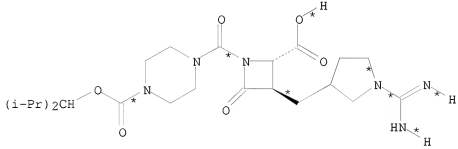


4 K

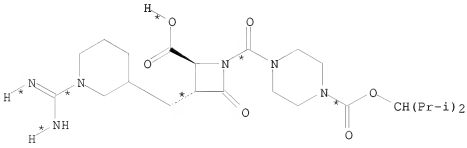


4 P

4
STEPS



BB



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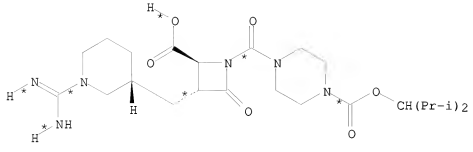

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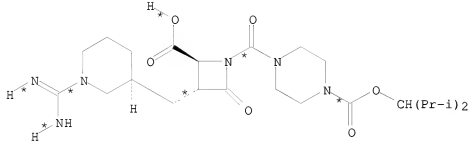


BE

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(15) RCT AL 253177-03-6, B 82938-50-9
RGT D 4111-54-0 LiN(Pr-i)2
PRO AW 253177-04-7
SOL 109-99-9 THF
NTE stereoselective

```
RX(17)    RCT  AW 253177-04-7

            STAGE(1)
              RGT  H 429-41-4 Bu4N.F
              SOL  109-99-9 THF

            STAGE(2)
              RCT  F 100-39-0
              RGT  I 144-55-8 NaHCO3
              SOL  68-12-2 DMF

          PRO  AZ 253177-06-9

RX(19)    RCT  AZ 253177-06-9

            STAGE(1)
              RGT  M 76-05-1 F3CCO2H
              SOL  75-09-2 CH2Cl2

            STAGE(2)
              RCT  K 152120-55-3
              RGT  N 121-44-8 Et3N
              SOL  68-12-2 DMF

          PRO  X 384830-18-6
          NTE  alternative prepn. shown

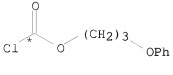
RX(21)    RCT  X 384830-18-6, P 253177-45-6

            STAGE(1)
              RGT  N 121-44-8 Et3N, R 1122-58-3 4-DMAP
              SOL  68-12-2 DMF

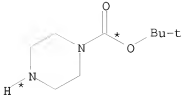
            STAGE(2)
              RGT  S 1333-74-0 H2, T 7647-01-0 HCl
              CAT  7440-05-3 Pd
              SOL  123-91-1 Dioxane, 7732-18-5 Water

          PRO  BB 479622-24-7, BC 253177-54-7, BD 479622-25-8, BE
               479622-26-9


RX(206) OF 275 COMPOSED OF REACTION SEQUENCE RX(33), RX(25)
               AND REACTION SEQUENCE RX(15), RX(17), RX(19), RX(25)
...  BV  +  AM  +  AN  ===>  BK...
...AL  +  B  +  F  +  K  +  BK  ===>  BL
```



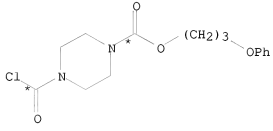
BV



AM

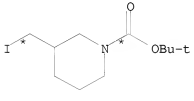
AN

4
STEPS

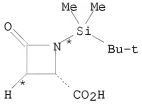


BK

START NEXT REACTION SEQUENCE

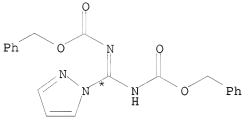


AL

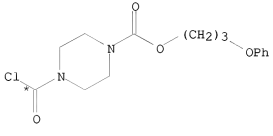


B

F



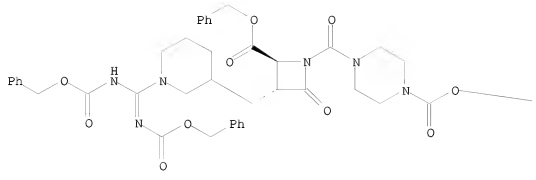
K



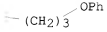
BK

4
STEPS

PAGE 1-A



PAGE 1-B



BL
YIELD 82%

RX(33) RCT BV 27184-60-7, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO BK 479622-28-1
NTE sodium bicarbonate may also be used in stage 3, alternative

prepn. shown

RX(15) RCT AL 253177-03-6, B 82938-50-9
RGT D 4111-54-0 LiN(Pr-i)2
PRO AW 253177-04-7
SOL 109-99-9 THF
NTE stereoselective

RX(17) RCT AW 253177-04-7

STAGE(1)
RGT H 429-41-4 Bu4N.F
SOL 109-99-9 THF

STAGE(2)
RCT F 100-39-0
RGT I 144-55-8 NaHCO3
SOL 68-12-2 DMF

PRO AZ 253177-06-9

RX(19) RCT AZ 253177-06-9

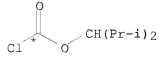
STAGE(1)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(2)
RCT K 152120-55-3
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

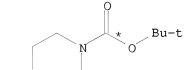
PRO X 384830-18-6
NTE alternative prepn. shown

RX(25) RCT BK 479622-28-1, X 384830-18-6
RGT N 121-44-8 Et3N
PRO BL 384830-26-6
CAT 1122-58-3 4-DMAP
SOL 68-12-2 DMF

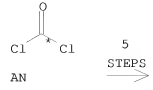
RX(214) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(5)
AND REACTION SEQUENCE RX(10), RX(15), RX(17), RX(19), RX(5)
... BR + AM + AN ===> P...
...AJ + B + F + K + P ===> Y



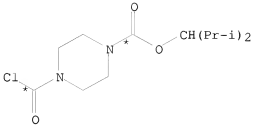
BR



AM

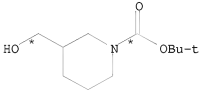


AN

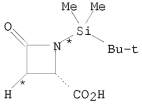


P

START NEXT REACTION SEQUENCE

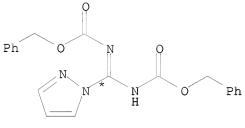


AJ

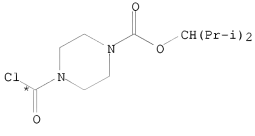


B

F



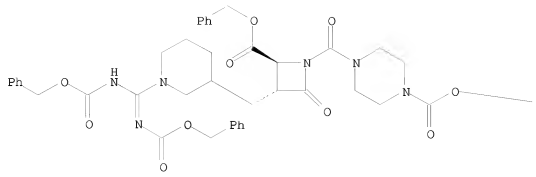
K



P

5
STEPS

PAGE 1-A



PAGE 1-B

CH(Pr-i)2

Y
YIELD 82%

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(10) RCT AJ 116574-71-1
RGT AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
PRO AL 253177-03-6
SOL 75-09-2 CH2Cl2

RX(15) RCT AL 253177-03-6, B 82938-50-9
RGT D 4111-54-0 LiN(Pr-i)2
PRO AW 253177-04-7
SOL 109-99-9 THF
NTE stereoselective

RX(17) RCT AW 253177-04-7

STAGE(1)
RGT H 429-41-4 Bu4N.F
SOL 109-99-9 THF

STAGE(2)
RCT F 100-39-0
RGT I 144-55-8 NaHCO3
SOL 68-12-2 DMF

PRO AZ 253177-06-9

RX(19) RCT AZ 253177-06-9

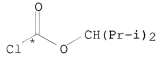
STAGE(1)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2C12

STAGE(2)
RCT K 152120-55-3
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

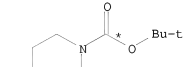
PRO X 384830-18-6
NTE alternative prepn. shown

RX(5) RCT P 253177-45-6, X 384830-18-6
RGT N 121-44-8 Et3N
PRO Y 253177-10-5
CAT 1122-58-3 4-DMAP
SOL 68-12-2 DMF

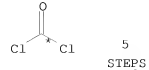
RX(215) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(21)
AND REACTION SEQUENCE RX(10), RX(15), RX(17), RX(19), RX(21)
...4 BR + 4 AM + 4 AN ===> P...
...4 AJ + 4 B + 4 F + 4 K + 4 P ===> BB + BC + BD +
BE



4 BR



4 AM



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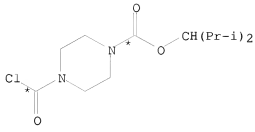
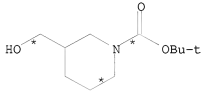


P

START NEXT REACTION SEQUENCE



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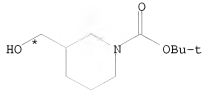
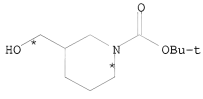


2 AJ



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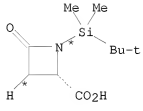

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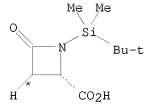
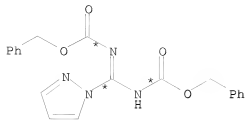
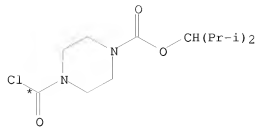


3 B

4 F

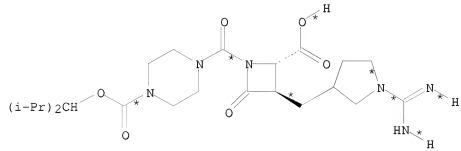


4 K

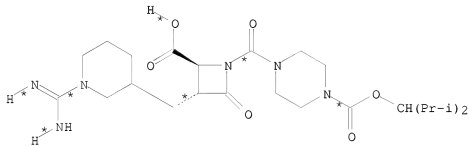


4 P

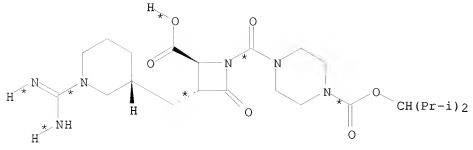
5
STEPS



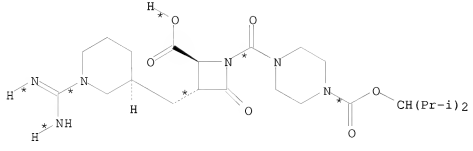
BB



BC



BD



BE

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(10) RCT AJ 116574-71-1
RGT AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
PRO AL 253177-03-6
SOL 75-09-2 CH2Cl2

RX(15) RCT AL 253177-03-6, B 82938-50-9
RGT D 4111-54-0 LiN(Pr-i)2

```
          PRO  AW 253177-04-7
          SOL  109-99-9 THF
          NTE  stereoselective

RX(17)    RCT  AW 253177-04-7

            STAGE(1)
               RGT  H 429-41-4 Bu4N.F
               SOL  109-99-9 THF

            STAGE(2)
               RCT  F 100-39-0
               RGT  I 144-55-8 NaHCO3
               SOL  68-12-2 DMF

          PRO  AZ 253177-06-9

RX(19)    RCT  AZ 253177-06-9

            STAGE(1)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(2)
               RCT  K 152120-55-3
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

          PRO  X 384830-18-6
          NTE  alternative prepn. shown

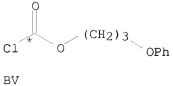
RX(21)    RCT  X 384830-18-6, P 253177-45-6

            STAGE(1)
               RGT  N 121-44-8 Et3N, R 1122-58-3 4-DMAP
               SOL  68-12-2 DMF

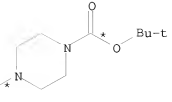
            STAGE(2)
               RGT  S 1333-74-0 H2, T 7647-01-0 HCl
               CAT  7440-05-3 Pd
               SOL  123-91-1 Dioxane, 7732-18-5 Water

          PRO  BB 479622-24-7, BC 253177-54-7, BD 479622-25-8, BE
               479622-26-9


RX(219) OF 275 COMPOSED OF REACTION SEQUENCE RX(33), RX(25)
               AND REACTION SEQUENCE RX(10), RX(15), RX(17), RX(19), RX(25)
...  BV  +  AM  +  AN  ===>  BK...
...AJ  +  B  +  F  +  K  +  BK  ===>  BL
```



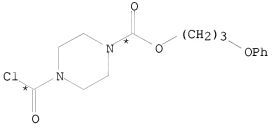
BV



AM

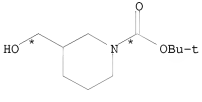
AN

5
STEPS



BK

START NEXT REACTION SEQUENCE



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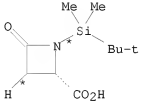
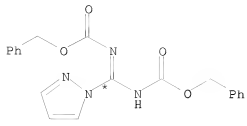


B

F



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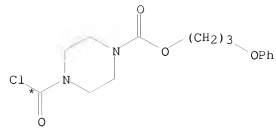
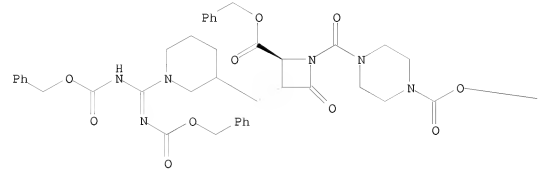


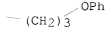
BK

5
STEPS

PAGE 1-A



PAGE 1-B



BL
YIELD 82%

RX(33) RCT BV 27184-60-7, AM 57260-71-6

<12/04/2007> Erich Leese

```
            STAGE(1)
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

            STAGE(2)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(3)
               RCT  AN 75-44-5
               RGT  N 121-44-8 Et3N
               SOL  75-09-2 CH2Cl2

         PRO  BK 479622-28-1
         NTE  sodium bicarbonate may also be used in stage 3, alternative
              prepn. shown

RX(10)   RCT  AJ 116574-71-1
         RGT  AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
         PRO  AL 253177-03-6
         SOL  75-09-2 CH2Cl2

RX(15)   RCT  AL 253177-03-6, B 82938-50-9
         RGT  D 4111-54-0 LiN(Pr-i)2
         PRO  AW 253177-04-7
         SOL  109-99-9 THF
         NTE  stereoselective

RX(17)   RCT  AW 253177-04-7

            STAGE(1)
               RGT  H 429-41-4 Bu4N.F
               SOL  109-99-9 THF

            STAGE(2)
               RCT  F 100-39-0
               RGT  I 144-55-8 NaHCO3
               SOL  68-12-2 DMF

         PRO  AZ 253177-06-9

RX(19)   RCT  AZ 253177-06-9

            STAGE(1)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

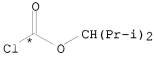
            STAGE(2)
               RCT  K 152120-55-3
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

         PRO  X 384830-18-6
         NTE  alternative prepn. shown

RX(25)   RCT  BK 479622-28-1, X 384830-18-6
         RGT  N 121-44-8 Et3N
```

PRO BL 384830-26-6
CAT 1122-58-3 4-DMAP
SOL 68-12-2 DMF

RX(227) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(5)
AND REACTION SEQUENCE RX(9), RX(10), RX(15), RX(17), RX(19),
RX(5)
... BR + AM + AN ===> P...
...AI + AE + B + F + K + P ===> Y



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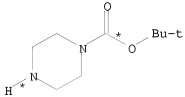

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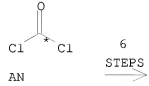

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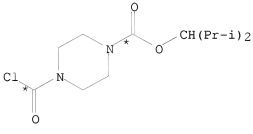

P

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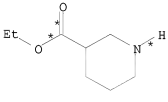

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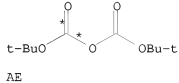

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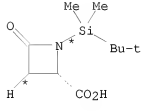
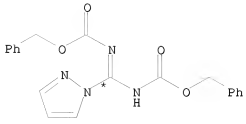
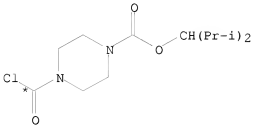


B

F



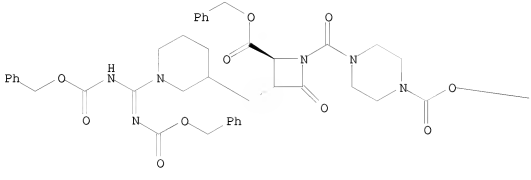
K



P

6
STEPS

PAGE 1-A



CH(Pr-i)2

Y
YIELD 82%

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(9) RCT AI 5006-62-2, AE 24424-99-5

STAGE(1)
SOL 109-99-9 THF

STAGE(2)
RGT AK 16853-85-3 LiAlH4
SOL 109-99-9 THF

PRO AJ 116574-71-1

RX(10) RCT AJ 116574-71-1
RGT AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
PRO AL 253177-03-6
SOL 75-09-2 CH2Cl2

RX(15) RCT AL 253177-03-6, B 82938-50-9
RGT D 4111-54-0 LiN(Pr-i)2
PRO AW 253177-04-7
SOL 109-99-9 THF
NTE stereoselective

```
RX(17)    RCT  AW 253177-04-7

            STAGE(1)
               RGT  H 429-41-4 Bu4N.F
               SOL  109-99-9 THF

            STAGE(2)
               RCT  F 100-39-0
               RGT  I 144-55-8 NaHCO3
               SOL  68-12-2 DMF

          PRO  AZ 253177-06-9

RX(19)    RCT  AZ 253177-06-9

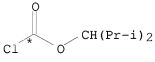
            STAGE(1)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(2)
               RCT  K 152120-55-3
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

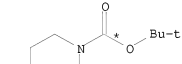
          PRO  X 384830-18-6
          NTE  alternative prepn. shown

RX(5)     RCT  P 253177-45-6, X 384830-18-6
          RGT  N 121-44-8 Et3N
          PRO  Y 253177-10-5
          CAT  1122-58-3 4-DMAP
          SOL  68-12-2 DMF


RX(228) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(21)
               AND REACTION SEQUENCE RX(9), RX(10), RX(15), RX(17), RX(19),
          RX(21)
...4 BR  +  4 AM  +  4 AN  ===>  P...
...4 AI  +  4 AE  +  4 B  +  4 F  +  4 K  +  4 P  ===>  BB  +  BC  +
          BD  +  BE
```



4 BR



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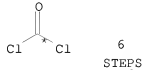
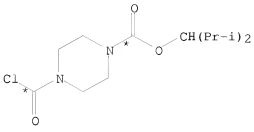


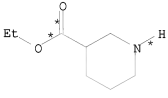
4 AN

6 STEPS

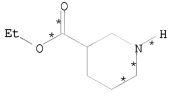


P

START NEXT REACTION SEQUENCE



3 AI



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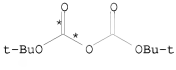

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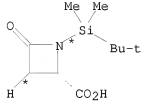

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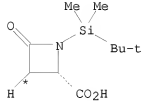
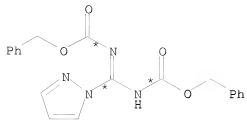
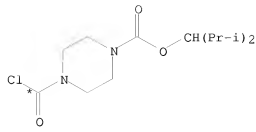


3 B

4 F

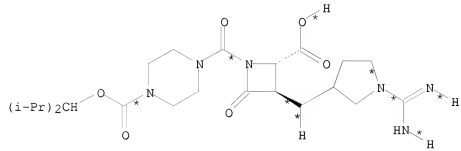


4 K



4 P

6
STEPS



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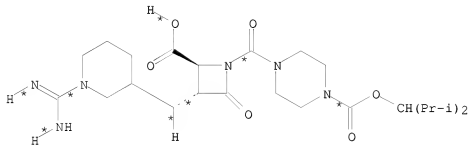

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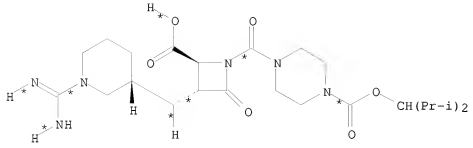
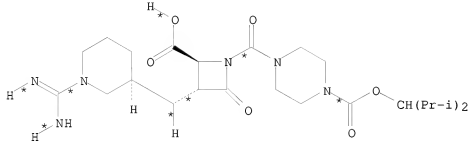


BD



BE

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(9) RCT AI 5006-62-2, AE 24424-99-5

STAGE(1)
SOL 109-99-9 THF

STAGE(2)
RGT AK 16853-85-3 LiAlH4

```
              SOL  109-99-9 THF

          PRO  AJ 116574-71-1

RX(10)    RCT  AJ 116574-71-1
          RGT  AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
          PRO  AL 253177-03-6
          SOL  75-09-2 CH2Cl2

RX(15)    RCT  AL 253177-03-6, B 82938-50-9
          RGT  D 4111-54-0 LiN(Pr-i)2
          PRO  AW 253177-04-7
          SOL  109-99-9 THF
          NTE  stereoselective

RX(17)    RCT  AW 253177-04-7

            STAGE(1)
               RGT  H 429-41-4 Bu4N.F
               SOL  109-99-9 THF

            STAGE(2)
               RCT  F 100-39-0
               RGT  I 144-55-8 NaHCO3
               SOL  68-12-2 DMF

          PRO  AZ 253177-06-9

RX(19)    RCT  AZ 253177-06-9

            STAGE(1)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(2)
               RCT  K 152120-55-3
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

          PRO  X 384830-18-6
          NTE  alternative prepn. shown

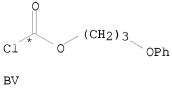
RX(21)    RCT  X 384830-18-6, P 253177-45-6

            STAGE(1)
               RGT  N 121-44-8 Et3N, R 1122-58-3 4-DMAP
               SOL  68-12-2 DMF

            STAGE(2)
               RGT  S 1333-74-0 H2, T 7647-01-0 HCl
               CAT  7440-05-3 Pd
               SOL  123-91-1 Dioxane, 7732-18-5 Water

          PRO  BB 479622-24-7, BC 253177-54-7, BD 479622-25-8, BE
               479622-26-9
```

RX(232) OF 275 COMPOSED OF REACTION SEQUENCE RX(33), RX(25)
AND REACTION SEQUENCE RX(9), RX(10), RX(15), RX(17), RX(19),
RX(25)
... BV + AM + AN ===> BK...
...AI + AE + B + F + K + BK ===> BL



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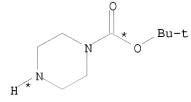
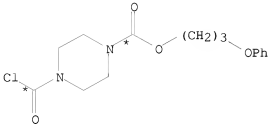


AM

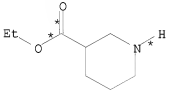
AN

6
STEPS



BK

START NEXT REACTION SEQUENCE



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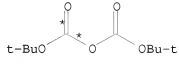

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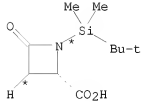

B

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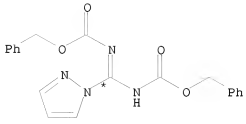

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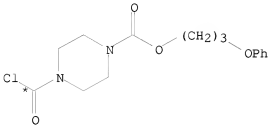
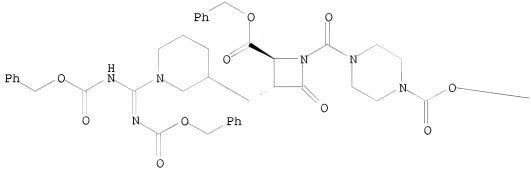


BK

6
STEPS

PAGE 1-A



BL
YIELD 82%

RX(33) RCT BV 27184-60-7, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO BK 479622-28-1
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(9) RCT AI 5006-62-2, AE 24424-99-5

STAGE(1)
SOL 109-99-9 THF

STAGE(2)
RGT AK 16853-85-3 LiAlH4
SOL 109-99-9 THF

PRO AJ 116574-71-1

RX(10) RCT AJ 116574-71-1
RGT AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
PRO AL 253177-03-6
SOL 75-09-2 CH2Cl2

RX(15) RCT AL 253177-03-6, B 82938-50-9
RGT D 4111-54-0 LiN(Pr-i)2
PRO AW 253177-04-7
SOL 109-99-9 THF
NTE stereoselective

```
RX(17)    RCT  AW 253177-04-7

            STAGE(1)
              RGT  H 429-41-4 Bu4N.F
              SOL  109-99-9 THF

            STAGE(2)
              RCT  F 100-39-0
              RGT  I 144-55-8 NaHCO3
              SOL  68-12-2 DMF

          PRO  AZ 253177-06-9

RX(19)    RCT  AZ 253177-06-9

            STAGE(1)
              RGT  M 76-05-1 F3CCO2H
              SOL  75-09-2 CH2Cl2

            STAGE(2)
              RCT  K 152120-55-3
              RGT  N 121-44-8 Et3N
              SOL  68-12-2 DMF

          PRO  X 384830-18-6
          NTE  alternative prepn. shown

RX(25)    RCT  BK 479622-28-1, X 384830-18-6
          RGT  N 121-44-8 Et3N
          PRO  BL 384830-26-6
          CAT  1122-58-3 4-DMAP
          SOL  68-12-2 DMF


RX(233) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(20)
               AND REACTION SEQUENCE RX(43), RX(16), RX(18), RX(20)
...  BR  +  AM  +  AN  ===>  P...
...AH  +  B  +  F  +  K  +  P  ===>  BB
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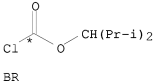

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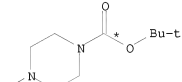

AM

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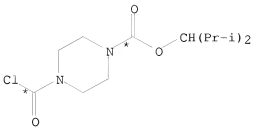

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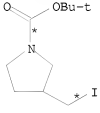
START NEXT REACTION SEQUENCE



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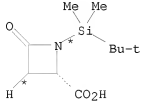
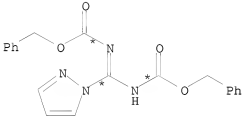


B

F



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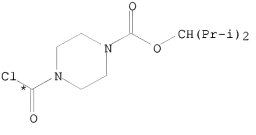
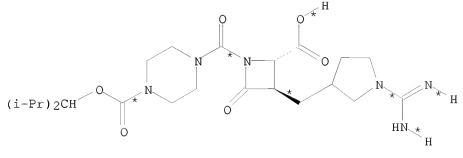


P

4
STEPS



BB

```
RX(29)    RCT  BR 30250-57-8, AM 57260-71-6

            STAGE(1)
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

            STAGE(2)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(3)
               RCT  AN 75-44-5
               RGT  N 121-44-8 Et3N
               SOL  75-09-2 CH2Cl2

          PRO  P 253177-45-6
          NTE  sodium bicarbonate may also be used in stage 3, alternative
               prepn. shown

RX(43)    RCT  AH 479622-36-1, B 82938-50-9
          RGT  D 4111-54-0 LiN(Pr-i)2
          PRO  AX 479622-21-4
          SOL  109-99-9 THF
          NTE  stereoselective

RX(16)    RCT  AX 479622-21-4

            STAGE(1)
               RGT  H 429-41-4 Bu4N.F
               SOL  109-99-9 THF

            STAGE(2)
               RCT  F 100-39-0
               RGT  I 144-55-8 NaHCO3
               SOL  68-12-2 DMF

          PRO  AY 479622-22-5

RX(18)    RCT  AY 479622-22-5

            STAGE(1)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(2)
               RCT  K 152120-55-3
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

          PRO  BA 479622-23-6
          NTE  alternative prepn. shown

RX(20)    RCT  BA 479622-23-6, P 253177-45-6

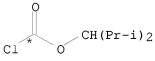
            STAGE(1)
```

RGT N 121-44-8 Et3N, R 1122-58-3 4-DMAP
SOL 68-12-2 DMF

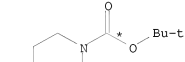
STAGE(2)
RGT S 1333-74-0 H2, T 7647-01-0 HCl
CAT 7440-05-3 Pd
SOL 123-91-1 Dioxane, 7732-18-5 Water

PRO BB 479622-24-7

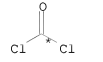
RX(234) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(20)
AND REACTION SEQUENCE RX(8), RX(43), RX(16), RX(18), RX(20)
... BR + AM + AN ===> P...
...AF + B + F + K + P ===> BB



BR

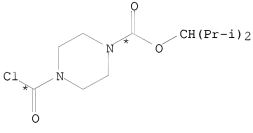


AM



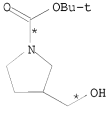
AN

5
STEPS

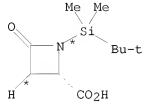


P

START NEXT REACTION SEQUENCE

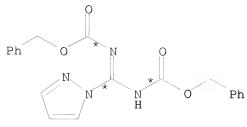


AF

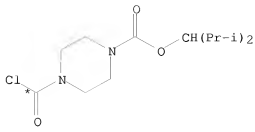


B

F

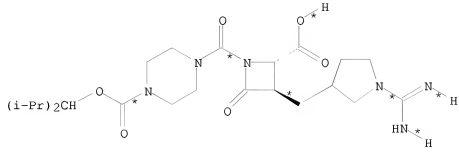


K



P

5
STEPS



BB

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(8) RCT AF 114214-69-6
RGT AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
PRO AH 479622-36-1
SOL 75-09-2 CH2Cl2

RX(43) RCT AH 479622-36-1, B 82938-50-9

```
          RGT  D 4111-54-0 LiN(Pr-i)2
          PRO  AX 479622-21-4
          SOL  109-99-9 THF
          NTE  stereoselective

RX(16)    RCT  AX 479622-21-4

            STAGE(1)
               RGT  H 429-41-4 Bu4N.F
               SOL  109-99-9 THF

            STAGE(2)
               RCT  F 100-39-0
               RGT  I 144-55-8 NaHCO3
               SOL  68-12-2 DMF

          PRO  AY 479622-22-5

RX(18)    RCT  AY 479622-22-5

            STAGE(1)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(2)
               RCT  K 152120-55-3
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

          PRO  BA 479622-23-6
          NTE  alternative prepn. shown

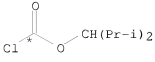
RX(20)    RCT  BA 479622-23-6, P 253177-45-6

            STAGE(1)
               RGT  N 121-44-8 Et3N, R 1122-58-3 4-DMAP
               SOL  68-12-2 DMF

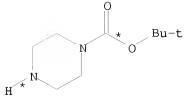
            STAGE(2)
               RGT  S 1333-74-0 H2, T 7647-01-0 HCl
               CAT  7440-05-3 Pd
               SOL  123-91-1 Dioxane, 7732-18-5 Water

          PRO  BB 479622-24-7


RX(235) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(20)
               AND REACTION SEQUENCE RX(7), RX(8), RX(43), RX(16), RX(18),
          RX(20)
...  BR  +  AM  +  AN  ===>  P...
...AD  +  AE  +  B  +  F  +  K  +  P  ===>  BB
```



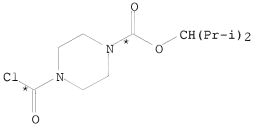
BR



AM

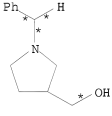
AN

6 STEPS

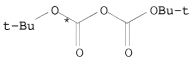


P

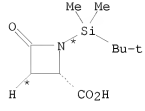
START NEXT REACTION SEQUENCE



AD

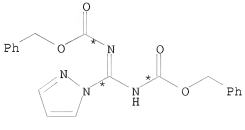


AE

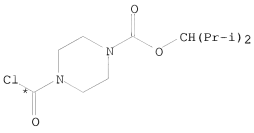


B

F

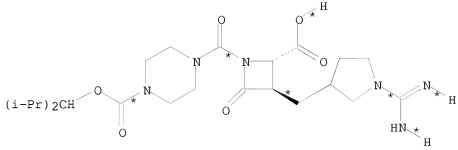


K



P

6
STEPS



BB

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(7) RCT AD 5731-17-9

STAGE(1)
RGT S 1333-74-0 H2
CAT 7440-05-3 Pd
SOL 67-56-1 MeOH

```
            STAGE(2)
               RCT  AE 24424-99-5
               SOL  109-99-9 THF

          PRO  AF 114214-69-6
          NTE  isopropanol may also be used as a solvent in the first stage

RX(8)     RCT  AF 114214-69-6
          RGT  AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
          PRO  AH 479622-36-1
          SOL  75-09-2 CH2Cl2

RX(43)    RCT  AH 479622-36-1, B 82938-50-9
          RGT  D 4111-54-0 LiN(Pr-i)2
          PRO  AX 479622-21-4
          SOL  109-99-9 THF
          NTE  stereoselective

RX(16)    RCT  AX 479622-21-4

            STAGE(1)
               RGT  H 429-41-4 Bu4N.F
               SOL  109-99-9 THF

            STAGE(2)
               RCT  F 100-39-0
               RGT  I 144-55-8 NaHCO3
               SOL  68-12-2 DMF

          PRO  AY 479622-22-5

RX(18)    RCT  AY 479622-22-5

            STAGE(1)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(2)
               RCT  K 152120-55-3
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

          PRO  BA 479622-23-6
          NTE  alternative prepn. shown

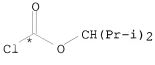
RX(20)    RCT  BA 479622-23-6, P 253177-45-6

            STAGE(1)
               RGT  N 121-44-8 Et3N, R 1122-58-3 4-DMAP
               SOL  68-12-2 DMF

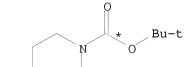
            STAGE(2)
               RGT  S 1333-74-0 H2, T 7647-01-0 HCl
               CAT  7440-05-3 Pd
               SOL  123-91-1 Dioxane, 7732-18-5 Water
```

PRO BB 479622-24-7

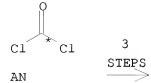
RX(241) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(5), RX(44)
AND REACTION SEQUENCE RX(19), RX(5), RX(44)
... BR + AM + AN ===> P...
...AZ + K + P ===> BC



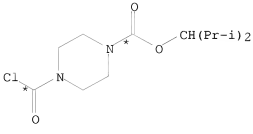
BR



AM

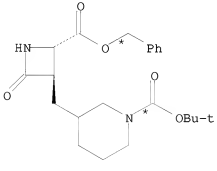


AN

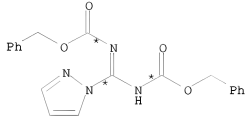


P

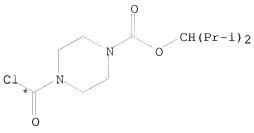
START NEXT REACTION SEQUENCE



AZ

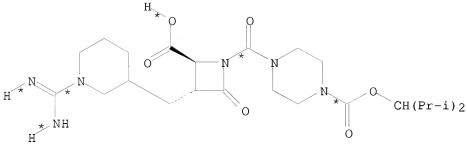


K



3
STEPS

P



BC
YIELD 92%

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(19) RCT AZ 253177-06-9

STAGE(1)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

```
            STAGE(2)
               RCT  K 152120-55-3
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

          PRO  X 384830-18-6
          NTE  alternative prepn. shown

RX(5)     RCT  P 253177-45-6, X 384830-18-6
          RGT  N 121-44-8 Et3N
          PRO  Y 253177-10-5
          CAT  1122-58-3 4-DMAP
          SOL  68-12-2 DMF

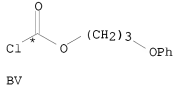
RX(44)    RCT  Y 253177-10-5

            STAGE(1)
               RGT  S 1333-74-0 H2, T 7647-01-0 HCl
               CAT  7440-05-3 Pd
               SOL  123-91-1 Dioxane, 7732-18-5 Water

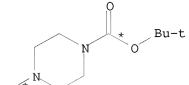
            STAGE(2)
               SOL  7732-18-5 Water

          PRO  BC 253177-54-7
          NTE  polyvinylpyridine resin used in second stage


RX(245) OF 275 COMPOSED OF REACTION SEQUENCE RX(33), RX(25), RX(37)
               AND REACTION SEQUENCE RX(19), RX(25), RX(37)
...  BV  +  AM  +  AN  ===>  BK...
...AZ  +  K  +  BK  ===>  BZ
```



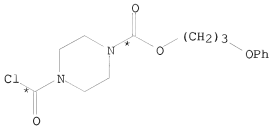
BV



AM

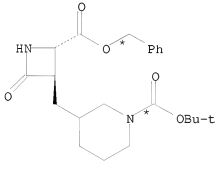
AN

3
STEPS

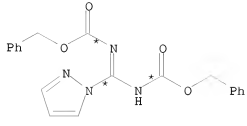


BK

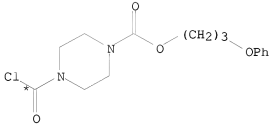
START NEXT REACTION SEQUENCE



AZ

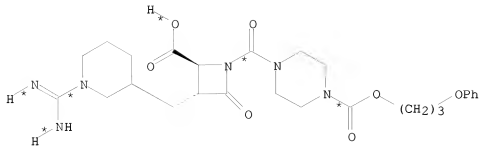


K



BK

3
STEPS



BZ
YIELD 92%

RX(33) RCT BV 27184-60-7, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO BK 479622-28-1
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(19) RCT AZ 253177-06-9

STAGE(1)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(2)
RCT K 152120-55-3
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

PRO X 384830-18-6
NTE alternative prepn. shown

RX(25) RCT BK 479622-28-1, X 384830-18-6
RGT N 121-44-8 Et3N
PRO BL 384830-26-6
CAT 1122-58-3 4-DMAP
SOL 68-12-2 DMF

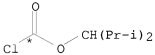
RX(37) RCT BL 384830-26-6

STAGE(1)
RGT S 1333-74-0 H2, T 7647-01-0 HCl
CAT 7440-05-3 Pd
SOL 123-91-1 Dioxane, 7732-18-5 Water

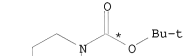
STAGE(2)
SOL 7732-18-5 Water

PRO BZ 384829-80-5
NTE polyvinylpyridine resin used in second stage

RX(248) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(5), RX(44)
AND REACTION SEQUENCE RX(17), RX(19), RX(5), RX(44)
... BR + AM + AN ===> P...
...AW + F + K + P ===> BC



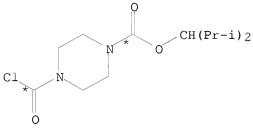
BR



AM

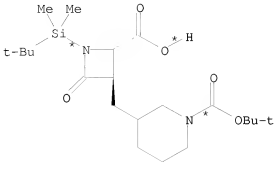
AN

4 STEPS



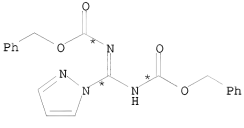
P

START NEXT REACTION SEQUENCE

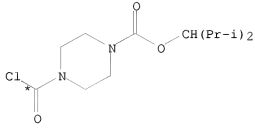


AW

F

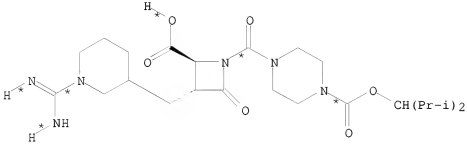


K



P

4
STEPS



BC
YIELD 92%

RX(29) RCT BR 30250-57-8, AM 57260-71-6

```
            STAGE(1)
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

            STAGE(2)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(3)
               RCT  AN 75-44-5
               RGT  N 121-44-8 Et3N
               SOL  75-09-2 CH2Cl2

          PRO  P 253177-45-6
          NTE  sodium bicarbonate may also be used in stage 3, alternative
               prepn. shown

RX(17)    RCT  AW 253177-04-7

            STAGE(1)
               RGT  H 429-41-4 Bu4N.F
               SOL  109-99-9 THF

            STAGE(2)
               RCT  F 100-39-0
               RGT  I 144-55-8 NaHCO3
               SOL  68-12-2 DMF

          PRO  AZ 253177-06-9

RX(19)    RCT  AZ 253177-06-9

            STAGE(1)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(2)
               RCT  K 152120-55-3
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

          PRO  X 384830-18-6
          NTE  alternative prepn. shown

RX(5)     RCT  P 253177-45-6, X 384830-18-6
          RGT  N 121-44-8 Et3N
          PRO  Y 253177-10-5
          CAT  1122-58-3 4-DMAP
          SOL  68-12-2 DMF

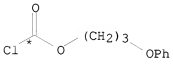
RX(44)    RCT  Y 253177-10-5

            STAGE(1)
               RGT  S 1333-74-0 H2, T 7647-01-0 HCl
               CAT  7440-05-3 Pd
               SOL  123-91-1 Dioxane, 7732-18-5 Water
```

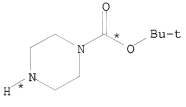
STAGE(2)
SOL 7732-18-5 Water

PRO BC 253177-54-7
NTE polyvinylpyridine resin used in second stage

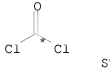
RX(252) OF 275 COMPOSED OF REACTION SEQUENCE RX(33), RX(25), RX(37)
AND REACTION SEQUENCE RX(17), RX(19), RX(25), RX(37)
... BV + AM + AN ===> BK...
...AW + F + K + BK ===> BZ



BV

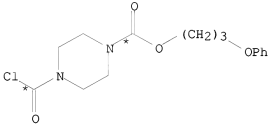


AM



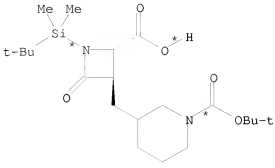
AN

4
STEPS



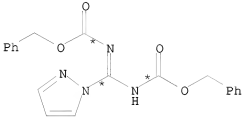
BK

START NEXT REACTION SEQUENCE

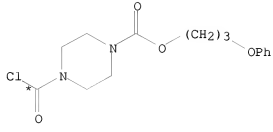


AW

F

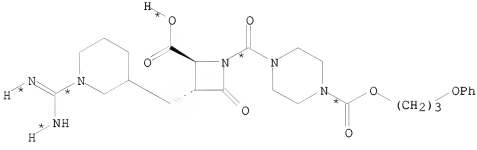


K



BK

4
STEPS



BZ
YIELD 92%

RX(33) RCT BV 27184-60-7, AM 57260-71-6

<12/04/2007> Erich Leese

```
            STAGE(1)
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

            STAGE(2)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(3)
               RCT  AN 75-44-5
               RGT  N 121-44-8 Et3N
               SOL  75-09-2 CH2Cl2

          PRO  BK 479622-28-1
          NTE  sodium bicarbonate may also be used in stage 3, alternative
               prepn. shown

RX(17)    RCT  AW 253177-04-7

            STAGE(1)
               RGT  H 429-41-4 Bu4N.F
               SOL  109-99-9 THF

            STAGE(2)
               RCT  F 100-39-0
               RGT  I 144-55-8 NaHCO3
               SOL  68-12-2 DMF

          PRO  AZ 253177-06-9

RX(19)    RCT  AZ 253177-06-9

            STAGE(1)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(2)
               RCT  K 152120-55-3
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

          PRO  X 384830-18-6
          NTE  alternative prepn. shown

RX(25)    RCT  BK 479622-28-1, X 384830-18-6
          RGT  N 121-44-8 Et3N
          PRO  BL 384830-26-6
          CAT  1122-58-3 4-DMAP
          SOL  68-12-2 DMF

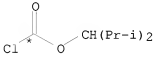
RX(37)    RCT  BL 384830-26-6

            STAGE(1)
               RGT  S 1333-74-0 H2, T 7647-01-0 HCl
               CAT  7440-05-3 Pd
               SOL  123-91-1 Dioxane, 7732-18-5 Water
```

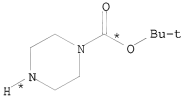
STAGE(2)
SOL 7732-18-5 Water

PRO BZ 384829-80-5
NTE polyvinylpyridine resin used in second stage

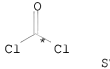
RX(255) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(5), RX(44)
AND REACTION SEQUENCE RX(15), RX(17), RX(19), RX(5), RX(44)
... BR + AM + AN ===> P...
...AL + B + F + K + P ===> BC



BR

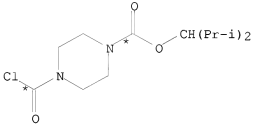


AM



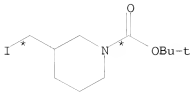
AN

5 STEPS

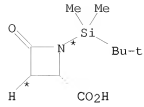


P

START NEXT REACTION SEQUENCE

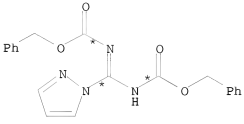


AL

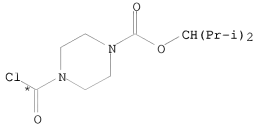


B

F

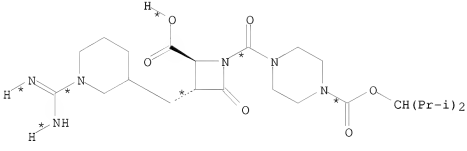


K



P

5
STEPS



BC
YIELD 92%

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

```
            STAGE(2)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(3)
               RCT  AN 75-44-5
               RGT  N 121-44-8 Et3N
               SOL  75-09-2 CH2Cl2

          PRO  P 253177-45-6
          NTE  sodium bicarbonate may also be used in stage 3, alternative
               prepn. shown

RX(15)    RCT  AL 253177-03-6, B 82938-50-9
          RGT  D 4111-54-0 LiN(Pr-i)2
          PRO  AW 253177-04-7
          SOL  109-99-9 THF
          NTE  stereoselective

RX(17)    RCT  AW 253177-04-7

            STAGE(1)
               RGT  H 429-41-4 Bu4N.F
               SOL  109-99-9 THF

            STAGE(2)
               RCT  F 100-39-0
               RGT  I 144-55-8 NaHCO3
               SOL  68-12-2 DMF

          PRO  AZ 253177-06-9

RX(19)    RCT  AZ 253177-06-9

            STAGE(1)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(2)
               RCT  K 152120-55-3
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

          PRO  X 384830-18-6
          NTE  alternative prepn. shown

RX(5)     RCT  P 253177-45-6, X 384830-18-6
          RGT  N 121-44-8 Et3N
          PRO  Y 253177-10-5
          CAT  1122-58-3 4-DMAP
          SOL  68-12-2 DMF

RX(44)    RCT  Y 253177-10-5

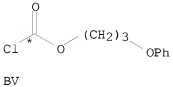
            STAGE(1)
               RGT  S 1333-74-0 H2, T 7647-01-0 HCl
               CAT  7440-05-3 Pd
```

SOL 123-91-1 Dioxane, 7732-18-5 Water

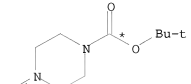
STAGE(2)
SOL 7732-18-5 Water

PRO BC 253177-54-7
NTE polyvinylpyridine resin used in second stage

RX(259) OF 275 COMPOSED OF REACTION SEQUENCE RX(33), RX(25), RX(37)
AND REACTION SEQUENCE RX(15), RX(17), RX(19), RX(25), RX(37)
... BV + AM + AN ===> BK...
...AL + B + F + K + BK ===> BZ



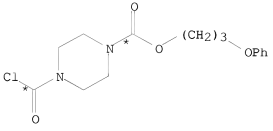
BV



AM

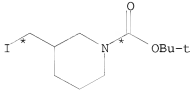
AN

5
STEPS

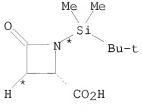


BK

START NEXT REACTION SEQUENCE

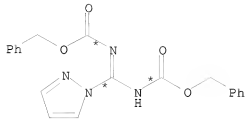


AL

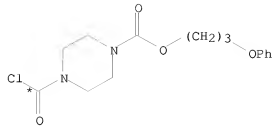


B

F

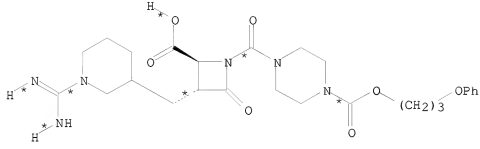


K



BK

5
STEPS



BZ
YIELD 92%

RX(33) RCT BV 27184-60-7, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO BK 479622-28-1
NTE sodium bicarbonate may also be used in stage 3, alternative

prepn. shown

RX(15) RCT AL 253177-03-6, B 82938-50-9
RGT D 4111-54-0 LiN(Pr-i)2
PRO AW 253177-04-7
SOL 109-99-9 THF
NTE stereoselective

RX(17) RCT AW 253177-04-7

STAGE(1)
RGT H 429-41-4 Bu4N.F
SOL 109-99-9 THF

STAGE(2)
RCT F 100-39-0
RGT I 144-55-8 NaHCO3
SOL 68-12-2 DMF

PRO AZ 253177-06-9

RX(19) RCT AZ 253177-06-9

STAGE(1)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2C12

STAGE(2)
RCT K 152120-55-3
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

PRO X 384830-18-6
NTE alternative prepn. shown

RX(25) RCT BK 479622-28-1, X 384830-18-6
RGT N 121-44-8 Et3N
PRO BL 384830-26-6
CAT 1122-58-3 4-DMAP
SOL 68-12-2 DMF

RX(37) RCT BL 384830-26-6

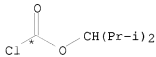
STAGE(1)
RGT S 1333-74-0 H2, T 7647-01-0 HC1
CAT 7440-05-3 Pd
SOL 123-91-1 Dioxane, 7732-18-5 Water

STAGE(2)
SOL 7732-18-5 Water

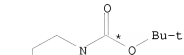
PRO BZ 384829-80-5
NTE polyvinylpyridine resin used in second stage

RX(262) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(5), RX(44)
AND REACTION SEQUENCE RX(10), RX(15), RX(17), RX(19), RX(5),

RX(44)
... BR + AM + AN ===> P...
...AJ + B + F + K + P ===> BC



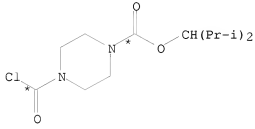
BR



AM

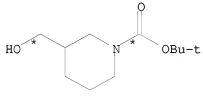
AN

6 STEPS

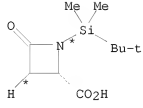


P

START NEXT REACTION SEQUENCE

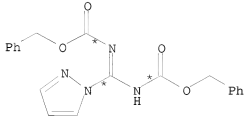


AJ

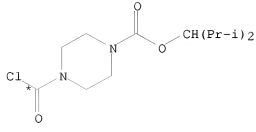


B

F

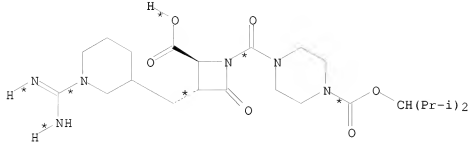


K



P

6
STEPS
→



BC
YIELD 92%

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO P 253177-45-6
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

RX(10) RCT AJ 116574-71-1
RGT AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
PRO AL 253177-03-6
SOL 75-09-2 CH2Cl2

RX(15) RCT AL 253177-03-6, B 82938-50-9
RGT D 4111-54-0 LiN(Pr-i)2
PRO AW 253177-04-7
SOL 109-99-9 THF
NTE stereoselective

RX(17) RCT AW 253177-04-7

STAGE(1)

```
                RGT  H 429-41-4 Bu4N.F
                SOL  109-99-9 THF

              STAGE(2)
                RCT  F 100-39-0
                RGT  I 144-55-8 NaHCO3
                SOL  68-12-2 DMF

           PRO  AZ 253177-06-9

RX(19)     RCT  AZ 253177-06-9

             STAGE(1)
                RGT  M 76-05-1 F3CCO2H
                SOL  75-09-2 CH2Cl2

             STAGE(2)
                RCT  K 152120-55-3
                RGT  N 121-44-8 Et3N
                SOL  68-12-2 DMF

           PRO  X 384830-18-6
           NTE  alternative prepn. shown

RX(5)      RCT  P 253177-45-6, X 384830-18-6
           RGT  N 121-44-8 Et3N
           PRO  Y 253177-10-5
           CAT  1122-58-3 4-DMAP
           SOL  68-12-2 DMF

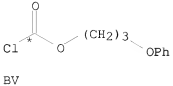
RX(44)     RCT  Y 253177-10-5

             STAGE(1)
                RGT  S 1333-74-0 H2, T 7647-01-0 HCl
                CAT  7440-05-3 Pd
                SOL  123-91-1 Dioxane, 7732-18-5 Water

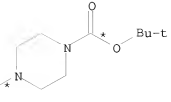
             STAGE(2)
                SOL  7732-18-5 Water

           PRO  BC 253177-54-7
           NTE  polyvinylpyridine resin used in second stage


RX(266) OF 275 COMPOSED OF REACTION SEQUENCE RX(33), RX(25), RX(37)
                AND REACTION SEQUENCE RX(10), RX(15), RX(17), RX(19), RX(25),
           RX(37)
...  BV  +  AM  +  AN  ===>  BK...
...AJ  +  B  +  F  +  K  +  BK  ===>  BZ
```



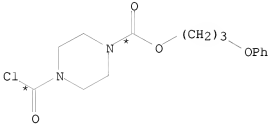
BV



AM

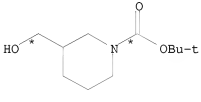
AN

6
STEPS

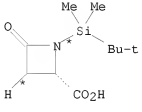


BK

START NEXT REACTION SEQUENCE

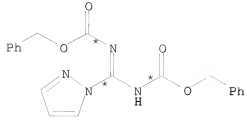


AJ

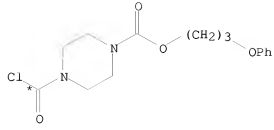


B

F

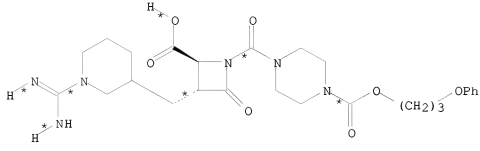


K



BK

6
STEPS



BZ
YIELD 92%

RX(33) RCT BV 27184-60-7, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)
RCT AN 75-44-5
RGT N 121-44-8 Et3N
SOL 75-09-2 CH2Cl2

PRO BK 479622-28-1
NTE sodium bicarbonate may also be used in stage 3, alternative prepn. shown

```
RX(10)    RCT  AJ 116574-71-1
          RGT  AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
          PRO  AL 253177-03-6
          SOL  75-09-2 CH2Cl2

RX(15)    RCT  AL 253177-03-6, B 82938-50-9
          RGT  D 4111-54-0 LiN(Pr-i)2
          PRO  AW 253177-04-7
          SOL  109-99-9 THF
          NTE  stereoselective

RX(17)    RCT  AW 253177-04-7

            STAGE(1)
               RGT  H 429-41-4 Bu4N.F
               SOL  109-99-9 THF

            STAGE(2)
               RCT  F 100-39-0
               RGT  I 144-55-8 NaHCO3
               SOL  68-12-2 DMF

          PRO  AZ 253177-06-9

RX(19)    RCT  AZ 253177-06-9

            STAGE(1)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(2)
               RCT  K 152120-55-3
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

          PRO  X 384830-18-6
          NTE  alternative prepn. shown

RX(25)    RCT  BK 479622-28-1, X 384830-18-6
          RGT  N 121-44-8 Et3N
          PRO  BL 384830-26-6
          CAT  1122-58-3 4-DMAP
          SOL  68-12-2 DMF

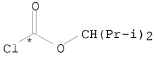
RX(37)    RCT  BL 384830-26-6

            STAGE(1)
               RGT  S 1333-74-0 H2, T 7647-01-0 HCl
               CAT  7440-05-3 Pd
               SOL  123-91-1 Dioxane, 7732-18-5 Water

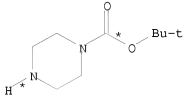
            STAGE(2)
               SOL  7732-18-5 Water

          PRO  BZ 384829-80-5
          NTE  polyvinylpyridine resin used in second stage
```

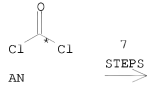
RX(271) OF 275 COMPOSED OF REACTION SEQUENCE RX(29), RX(5), RX(44)
AND REACTION SEQUENCE RX(9), RX(10), RX(15), RX(17), RX(19),
RX(5), RX(44)
... BR + AM + AN ===> P...
...AI + AE + B + F + K + P ===> BC



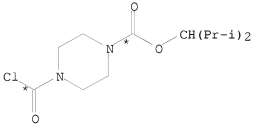
BR



AM

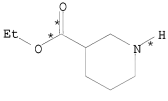


AN

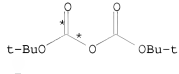


P

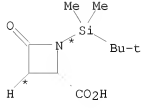
START NEXT REACTION SEQUENCE



AI

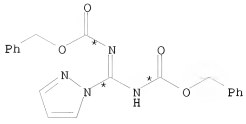


AE

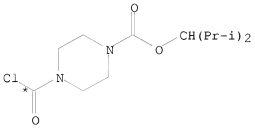


B

F

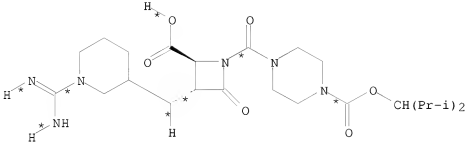


K



P

7
STEPS



BC
YIELD 92%

RX(29) RCT BR 30250-57-8, AM 57260-71-6

STAGE(1)
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

STAGE(2)
RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2Cl2

STAGE(3)

```
                RCT  AN 75-44-5
                RGT  N 121-44-8 Et3N
                SOL  75-09-2 CH2Cl2

           PRO  P 253177-45-6
           NTE  sodium bicarbonate may also be used in stage 3, alternative
                prepn. shown

RX(9)      RCT  AI 5006-62-2, AE 24424-99-5

             STAGE(1)
                SOL  109-99-9 THF

             STAGE(2)
                RGT  AK 16853-85-3 LiAlH4
                SOL  109-99-9 THF

           PRO  AJ 116574-71-1

RX(10)     RCT  AJ 116574-71-1
           RGT  AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
           PRO  AL 253177-03-6
           SOL  75-09-2 CH2Cl2

RX(15)     RCT  AL 253177-03-6, B 82938-50-9
           RGT  D 4111-54-0 LiN(Pr-i)2
           PRO  AW 253177-04-7
           SOL  109-99-9 THF
           NTE  stereoselective

RX(17)     RCT  AW 253177-04-7

             STAGE(1)
                RGT  H 429-41-4 Bu4N.F
                SOL  109-99-9 THF

             STAGE(2)
                RCT  F 100-39-0
                RGT  I 144-55-8 NaHCO3
                SOL  68-12-2 DMF

           PRO  AZ 253177-06-9

RX(19)     RCT  AZ 253177-06-9

             STAGE(1)
                RGT  M 76-05-1 F3CCO2H
                SOL  75-09-2 CH2Cl2

             STAGE(2)
                RCT  K 152120-55-3
                RGT  N 121-44-8 Et3N
                SOL  68-12-2 DMF

           PRO  X 384830-18-6
           NTE  alternative prepn. shown
```

RX(5) RCT P 253177-45-6, X 384830-18-6
RGT N 121-44-8 Et3N
PRO Y 253177-10-5
CAT 1122-58-3 4-DMAP
SOL 68-12-2 DMF

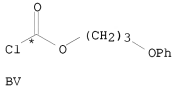
RX(44) RCT Y 253177-10-5

STAGE(1)
RGT S 1333-74-0 H2, T 7647-01-0 HCl
CAT 7440-05-3 Pd
SOL 123-91-1 Dioxane, 7732-18-5 Water

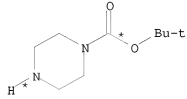
STAGE(2)
SOL 7732-18-5 Water

PRO BC 253177-54-7
NTE polyvinylpyridine resin used in second stage

RX(275) OF 275 COMPOSED OF REACTION SEQUENCE RX(33), RX(25), RX(37)
AND REACTION SEQUENCE RX(9), RX(10), RX(15), RX(17), RX(19),
RX(25), RX(37)
... BV + AM + AN ===> BK...
...AI + AE + B + F + K + BK ===> BZ



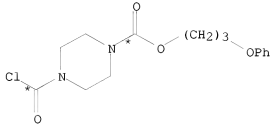
BV



AM

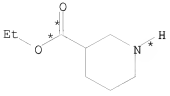
AN

7
STEPS

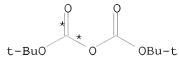


BK

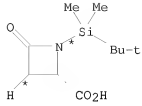
START NEXT REACTION SEQUENCE



AI



AE



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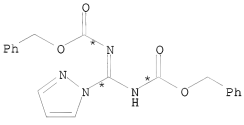

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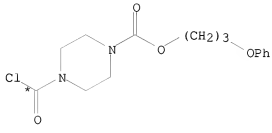
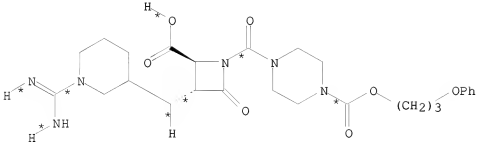


BK

7
STEPS



BZ
YIELD 92%

```
RX(33)    RCT  BV 27184-60-7, AM 57260-71-6

            STAGE(1)
               RGT  N 121-44-8 Et3N
               SOL  68-12-2 DMF

            STAGE(2)
               RGT  M 76-05-1 F3CCO2H
               SOL  75-09-2 CH2Cl2

            STAGE(3)
               RCT  AN 75-44-5
               RGT  N 121-44-8 Et3N
               SOL  75-09-2 CH2Cl2

          PRO  BK 479622-28-1
          NTE  sodium bicarbonate may also be used in stage 3, alternative
               prepn. shown

RX(9)     RCT  AI 5006-62-2, AE 24424-99-5

            STAGE(1)
               SOL  109-99-9 THF

            STAGE(2)
               RGT  AK 16853-85-3 LiAlH4
               SOL  109-99-9 THF

          PRO  AJ 116574-71-1

RX(10)    RCT  AJ 116574-71-1
          RGT  AA 7553-56-2 I2, AB 603-35-0 PPh3, AC 288-32-4 1H-Imidazole
          PRO  AL 253177-03-6
          SOL  75-09-2 CH2Cl2

RX(15)    RCT  AL 253177-03-6, B 82938-50-9
          RGT  D 4111-54-0 LiN(Pr-i)2
          PRO  AW 253177-04-7
          SOL  109-99-9 THF
          NTE  stereoselective

RX(17)    RCT  AW 253177-04-7

            STAGE(1)
               RGT  H 429-41-4 Bu4N.F
               SOL  109-99-9 THF

            STAGE(2)
               RCT  F 100-39-0
               RGT  I 144-55-8 NaHCO3
               SOL  68-12-2 DMF

          PRO  AZ 253177-06-9

RX(19)    RCT  AZ 253177-06-9

            STAGE(1)
```

RGT M 76-05-1 F3CCO2H
SOL 75-09-2 CH2C12

STAGE(2)
RCT K 152120-55-3
RGT N 121-44-8 Et3N
SOL 68-12-2 DMF

PRO X 384830-18-6
NTE alternative prepn. shown

RX(25) RCT BK 479622-28-1, X 384830-18-6
RGT N 121-44-8 Et3N
PRO BL 384830-26-6
CAT 1122-58-3 4-DMAP
SOL 68-12-2 DMF

RX(37) RCT BL 384830-26-6

STAGE(1)
RGT S 1333-74-0 H2, T 7647-01-0 HCl
CAT 7440-05-3 Pd
SOL 123-91-1 Dioxane, 7732-18-5 Water

STAGE(2)
SOL 7732-18-5 Water

PRO BZ 384829-80-5
NTE polyvinylpyridine resin used in second stage
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(21), 3235-3238
CODEN: BMCLE8; ISSN: 0960-894X

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=> d ih

'IH' IS NOT A VALID FORMAT FOR FILE 'CASREACT'

The following are valid formats:

ABS -------- GI and AB
ALL -------- BIB, AB, IND, RE, Single-step Reactions
APPS ------- AI, PRAI
BIB -------- AN, plus Bibliographic Data
CAN -------- List of CA abstract numbers without answer numbers
CBIB ------- AN, plus Compressed Bibliographic Data
DALL ------- ALL, delimited (end of each field identified)
IABS ------- ABS, indented with text labels
IALL ------- ALL, indented with text labels
IBIB ------- BIB, indented with text labels
IND -------- Indexing data
IPC -------- International Patent Classifications
ISTD ------- STD, indented with text labels
OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

MAX -------- Same as ALL
PATS ------- PI, SO
SCAN ------- TI and FCRD (random display, no answer number.  SCAN
             must be entered on the same line as DISPLAY, e.g.,
             D SCAN.)
SSRX ------- Single-Step Reactions (Map, Diagram, and Summary for
             all single-step reactions)
STD -------- BIB, IPC, and NCL

CRD -------- Compact Display of All Hit Reactions
CRDREF ----- Compact Reaction Display and SO, PY for Reference
FHIT ------- Reaction Map, Diagram, and Summary for first
             hit reaction
FHITCBIB --- FHIT, AN plus CBIB
FCRD ------- First hit in Compact Reaction Display (CRD) format
FCRDREF ---- First hit in Compact Reaction Display (CRD) format with
             CA reference information (SO, PY).  (Default)
FPATH ------ PATH, plus Reaction Summary for the "long path"
FSPATH ----- SPATH, plus Reaction Summary for the "short path"
HIT -------- Reaction Map, Reaction Diagram, and Reaction
             Summary for all hit reactions and fields containing
             hit terms
OCC -------- All hit fields and the number of occurrences of the
             hit terms in each field.  Includes total number of
             HIT, PATH, SPATH reactions. Labels reactions that have
             incomplete verifications.
PATH ------- Reaction Map and Reaction Diagram for the "long
             path".  Displays all hit reactions, except those
             whose steps are totally included within another hit
             reaction which is displayed
RX --------- Hit Reactions (Map, Diagram, Summary for all hit reactions)
RXG -------- Hit Reaction Graphics (Map and Diagram for all hit reactions)
```

```
RXL -------- Hit Reaction Long (Map, Diagram, Summary for all hit reactions)
RXS -------- Hit Reaction Summariers (Map and Summary for all hit reactions)
SPATH ------ Reaction Map and Reaction Diagram for the "short
             path".  Displays all single step reactions which
             contain a hit substance.  Also displays those
             multistep reactions that have a hit substance in both
             the first and last steps of the reaction, except for
             those hit reactions whose steps are totally included
             within another hit reaction which is displayed

To display a particular field or fields, enter the display field
codes.  For a list of the display field codes, enter HELP DFIELDS
at an arrow prompt (=>).  Examples of combinations include: D TI;
D BIB RX; D TI, AU, FCRD.  The information is displayed in the same order
as the specification.  All of the formats, except CRD, CRDREF, FHIT, PATH,
FPATH, SPATH, FSPATH, FCRD, FCRDREF, HIT, RX, RXG, RXS, SCAN, and OCC, may
be used with the DISPLAY command to display the record for a specified
Accession Number.

ENTER DISPLAY FORMAT (FCRDREF):d his
'D' IS NOT A VALID FORMAT FOR FILE 'CASREACT'

The following are valid formats:

ABS -------- GI and AB
ALL -------- BIB, AB, IND, RE, Single-step Reactions
APPS ------- AI, PRAI
BIB -------- AN, plus Bibliographic Data
CAN -------- List of CA abstract numbers without answer numbers
CBIB ------- AN, plus Compressed Bibliographic Data
DALL ------- ALL, delimited (end of each field identified)
IABS ------- ABS, indented with text labels
IALL ------- ALL, indented with text labels
IBIB ------- BIB, indented with text labels
IND -------- Indexing data
IPC -------- International Patent Classifications
ISTD ------- STD, indented with text labels
OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

MAX -------- Same as ALL
PATS ------- PI, SO
SCAN ------- TI and FCRD (random display, no answer number.  SCAN
             must be entered on the same line as DISPLAY, e.g.,
             D SCAN.)
SSRX ------- Single-Step Reactions (Map, Diagram, and Summary for
             all single-step reactions)
STD -------- BIB, IPC, and NCL

CRD -------- Compact Display of All Hit Reactions
CRDREF ----- Compact Reaction Display and SO, PY for Reference
FHIT ------- Reaction Map, Diagram, and Summary for first
             hit reaction
FHITCBIB --- FHIT, AN plus CBIB
```

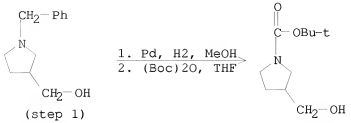
```
FCRD ------- First hit in Compact Reaction Display (CRD) format
FCRDREF ---- First hit in Compact Reaction Display (CRD) format with
             CA reference information (SO, PY).  (Default)
FPATH ------ PATH, plus Reaction Summary for the "long path"
FSPATH ----- SPATH, plus Reaction Summary for the "short path"
HIT -------- Reaction Map, Reaction Diagram, and Reaction
             Summary for all hit reactions and fields containing
             hit terms
OCC -------- All hit fields and the number of occurrences of the
             hit terms in each field.  Includes total number of
             HIT, PATH, SPATH reactions. Labels reactions that have
             incomplete verifications.
PATH ------- Reaction Map and Reaction Diagram for the "long
             path".  Displays all hit reactions, except those
             whose steps are totally included within another hit
             reaction which is displayed
RX --------- Hit Reactions (Map, Diagram, Summary for all hit reactions)
RXG -------- Hit Reaction Graphics (Map and Diagram for all hit reactions)
RXL -------- Hit Reaction Long (Map, Diagram, Summary for all hit reactions)
RXS -------- Hit Reaction Summariers (Map and Summary for all hit reactions)
SPATH ------ Reaction Map and Reaction Diagram for the "short
             path".  Displays all single step reactions which
             contain a hit substance.  Also displays those
             multistep reactions that have a hit substance in both
             the first and last steps of the reaction, except for
             those hit reactions whose steps are totally included
             within another hit reaction which is displayed

To display a particular field or fields, enter the display field
codes.  For a list of the display field codes, enter HELP DFIELDS
at an arrow prompt (=>).  Examples of combinations include: D TI;
D BIB RX; D TI, AU, FCRD.  The information is displayed in the same order
as the specification.  All of the formats, except CRD, CRDREF, FHIT, PATH,
FPATH, SPATH, FSPATH, FCRD, FCRDREF, HIT, RX, RXG, RXS, SCAN, and OCC, may
be used with the DISPLAY command to display the record for a specified
Accession Number.

ENTER DISPLAY FORMAT (FCRDREF):
ENTER DISPLAY FORMAT (FCRDREF):.
```

L10 ANSWER 1 OF 1 CASREACT COPYRIGHT 2008 ACS on STN

RX(7) OF 275



(step 1)

REF: Bioorganic & Medicinal Chemistry Letters, 12(21), 3235-3238; 2002

NOTE: isopropanol may also be used as a solvent in the first stage

```
=> d his

     (FILE 'HOME' ENTERED AT 11:16:01 ON 01 FEB 2008)

     FILE 'REGISTRY' ENTERED AT 11:16:18 ON 01 FEB 2008
L1             STRUCTURE UPLOADED
L2           37 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 11:16:56 ON 01 FEB 2008
L3           17 S L2 FULL
L4           17 S L3 AND PY<2003

     FILE 'CASREACT' ENTERED AT 11:30:01 ON 01 FEB 2008
L5             STRUCTURE UPLOADED
L6           10 S L5
L7          197 S L5 FULL
L8           93 S L7 AND PY<2003
L9            0 S L8 AND ORGANIC SOLVENT
L10           1 S L8 AND SOLVENT

=> FIL STNGUIDE
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   140.47     423.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                    -0.75     -14.35

FILE 'STNGUIDE' ENTERED AT 11:36:23 ON 01 FEB 2008
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jan 25, 2008 (20080125/UP).

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.12     424.01

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -14.35

STN INTERNATIONAL LOGOFF AT 11:37:24 ON 01 FEB 2008
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